116809

U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

Requestor's Name: Hong Liu

Serial Number: 09/831,506

Date: 3/11/04

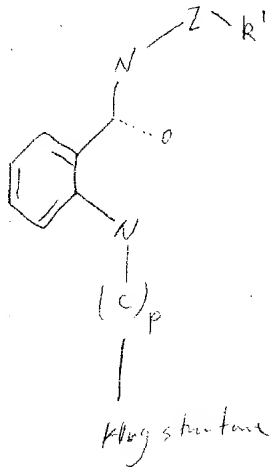
Phone: 2-0669
Rem 5C11

Art Unit: 1624

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).

Barb please!



Hong's structure

anthranilic acid amides

A Huth D Seidelmann & Thierauch

STAFF USE ONLY

Date completed: 3-16-04

Searcher: [illegible]

Terminal time: 31

Elapsed time: prep 30

CPU time: _____

Total time: _____

Number of Searches: _____

Number of Databases: _____

Search Site

_____ STIC

_____ CM-1

_____ Pre-S

Type of Search

_____ N.A. Sequence

_____ A.A. Sequence

3 Structure

_____ Bibliographic

Vendors

_____ IG

522 STN

_____ Dialog

_____ APS

_____ Geninfo

_____ SDC

_____ DARC/Questel

_____ Other

PTO-1590 (9-90)

=> fil reg; d stat que l27; fil capl;d que nos l28; fil uspatf; d que nos l29
FILE 'REGISTRY' ENTERED AT 15:17:55 ON 16 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 15 MAR 2004 HIGHEST RN 663595-21-9
DICTIONARY FILE UPDATES: 15 MAR 2004 HIGHEST RN 663595-21-9

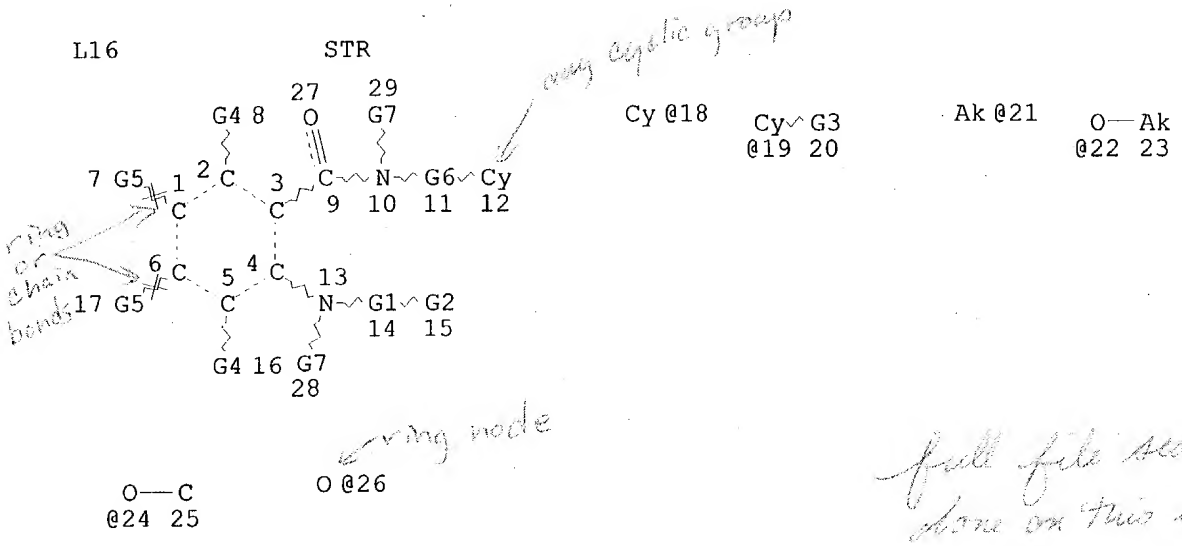
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

L16 STR



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VAR G2=18/19
VAR G3=X/21/22/OH
VAR G4=H/X/24/C
VAR G5=H/X/24/C/26
REP G6=(0-9) C
VAR G7=H/21
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CONNECT IS E1 RC AT 18
CONNECT IS E1 RC AT 21
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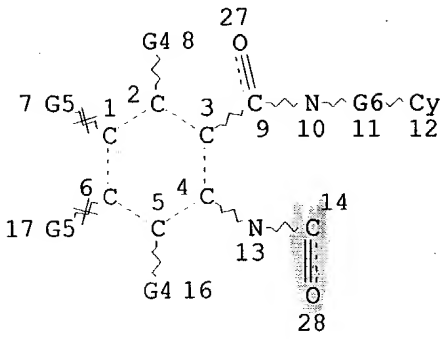
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 29

full file search done on this structure, with structure on next page "NOT"-ed out of answer set

STEREO ATTRIBUTES: NONE
L18 STR



"NOT"-ed out

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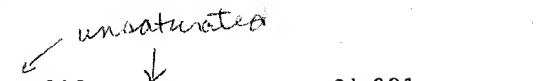
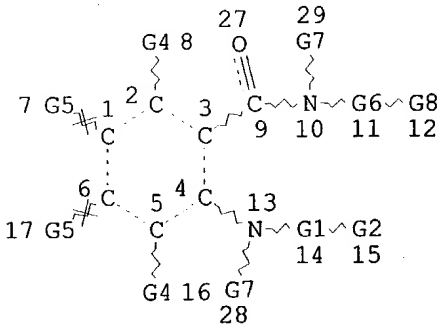
NSPEC IS R AT 26
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE
L21 425 SEA FILE=REGISTRY SSS FUL L16 NOT L18
L25 STR

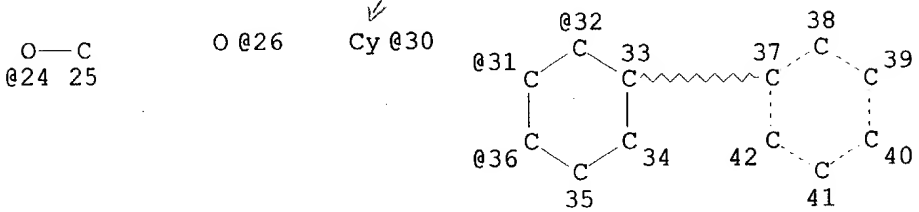
unsaturated





Subset search done on this structure

unsaturated



REP G1=(1-4) C
VAR G2=18/19
VAR G3=X/21/22/OH
VAR G4=H/X/24/C
VAR G5=H/X/24/C/26

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REP G6=(0-9) C
VAR G7=H/21
VAR G8=30/32/31/36
NODE ATTRIBUTES:
NSPEC   IS R      AT  26
CONNECT IS E1  RC AT  18
CONNECT IS E1  RC AT  21
CONNECT IS E1  RC AT  23
DEFAULT MLEVEL IS ATOM
GGCAT   IS UNS  AT  18
GGCAT   IS UNS  AT  19
GGCAT   IS UNS  AT  30
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  42

STEREO ATTRIBUTES: NONE
L27          325 SEA FILE=REGISTRY SUB=L21 SSS FUL L25

100.0% PROCESSED    425 ITERATIONS                          325 ANSWERS
SEARCH TIME: 00.00.01



FILE 'CAPLUS' ENTERED AT 15:17:55 ON 16 MAR 2004
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FILE COVERS 1907 - 16 Mar 2004  VOL 140 ISS 12
FILE LAST UPDATED: 15 Mar 2004  (20040315/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE


L16              STR
L18              STR
L21          425 SEA FILE=REGISTRY SSS FUL L16 NOT L18
L25              STR
L27          325 SEA FILE=REGISTRY SUB=L21 SSS FUL L25
L28           43 SEA FILE=CAPLUS ABB=ON  L27



FILE 'USPATFULL' ENTERED AT 15:17:55 ON 16 MAR 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 16 Mar 2004 (20040316/PD)
FILE LAST UPDATED: 16 Mar 2004 (20040316/ED)
HIGHEST GRANTED PATENT NUMBER: US6708338
HIGHEST APPLICATION PUBLICATION NUMBER: US2004049824
CA INDEXING IS CURRENT THROUGH 16 Mar 2004 (20040316/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 16 Mar 2004 (20040316/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2004
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2004

>>> USPAT2 is now available. USPATFULL contains full text of the     <<<
>>> original, i.e., the earliest published granted patents or       <<<
>>> applications. USPAT2 contains full text of the latest US        <<<
>>> publications, starting in 2001, for the inventions covered in   <<<
>>> USPATFULL. A USPATFULL record contains not only the original    <<<
>>> published document but also a list of any subsequent            <<<
>>> publications. The publication number, patent kind code, and     <<<
>>> publication date for all the US publications for an invention    <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc.                                                       <<<

>>> USPATFULL and USPAT2 can be accessed and searched together       <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to         <<<
>>> enter this cluster.                                             <<<
>>>                                                                 <<<
>>> Use USPATALL when searching terms such as patent assignees,     <<<
>>> classifications, or claims, that may potentially change from    <<<
>>> the earliest to the latest publication.                         <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.


L16             STR
L18             STR
L21         425 SEA FILE=REGISTRY SSS FUL L16 NOT L18
L25             STR
L27         325 SEA FILE=REGISTRY SUB=L21 SSS FUL L25
L29          14 SEA FILE=USPATFULL ABB=ON  L27


=> dup rem l28,l29
FILE 'CAPLUS' ENTERED AT 15:17:59 ON 16 MAR 2004
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FILE 'USPATFULL' ENTERED AT 15:17:59 ON 16 MAR 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L28
PROCESSING COMPLETED FOR L29
L31          55 DUP REM L28 L29 (2 DUPLICATES REMOVED)
                ANSWERS '1-43' FROM FILE CAPLUS
                ANSWERS '44-55' FROM FILE USPATFULL

=> d ibib ed abs hitstr 1-55; fil cao; d que nos l30

L31  ANSWER 1 OF 55  CAPLUS  COPYRIGHT 2004 ACS on STN DUPLICATE 1
ACCESSION NUMBER:         2003:950057  CAPLUS
DOCUMENT NUMBER:          140:16647
TITLE:                    Preparation of 2-aminopyridine-3-carboxamides as
                          remedies for angiogenesis mediated diseases
```

INVENTOR(S): Askew, Benny; Adams, Jeffrey; Booker, Shon; Chen, Guoqing; Dipietro, Lucian V.; Elbaum, Daniel; Germain, Julie; Geuns-Meyer, Stephanie D.; Habgood, Gregory J.; Handley, Michael; Huang, Qi; Kim, Tae-seong; Li, Aiwen; Nishimura, Nobuko; Nomak, Rana; Patel, Vinod F.; Riahi, Babak; Kim, Joseph L.; Xi, Ning; Yang, Kevin; Yuan, Chester Chenguang
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 252 pp., Cont.-in-part of U.S. Ser. No. 46,681.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003225106	A1	20031204	US 2002-197974	20020717
US 2003125339	A1	20030703	US 2002-46681	20020110
WO 2004007458	A1	20040122	WO 2003-US22417	20030715

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2001-261339P	P	20010112
US 2001-323764P	P	20010919
US 2002-46681	A2	20020110
US 2002-197974	A	20020717

OTHER SOURCE(S): MARPAT 140:16647
ED Entered STN: 05 Dec 2003
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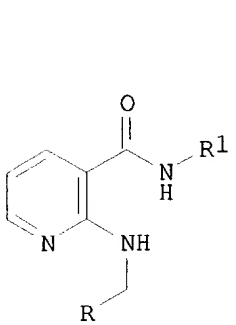

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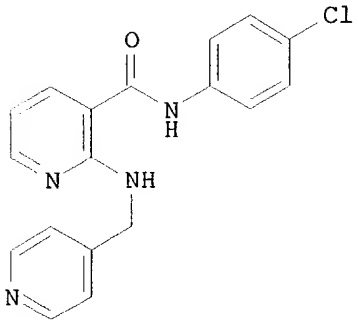


II

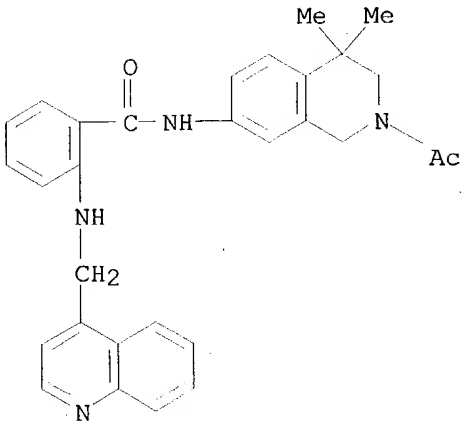
AB The title compds. [I; R = (un)substituted 4-pyridyl, 2-pyridyl, 4-pyrimidinyl, 4-quinolyl, etc.; R1 = (un)substituted aryl, cycloalkyl, 5-6 membered heteroaryl, 9-10 membered bicyclic and 11-14 membered tricyclic heterocyclyl], which are effective for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the

like, were prepd. Thus, the title compd. II was prepd. from 2-aminonicotinic acid, 4-chloroaniline, and 4-pyridinecarboxaldehyde. The compds. I showed inhibition of KDR kinase at < 50 .mu.M. Many compds. I inhibited VEGF-stimulated HUVEC proliferation at a level below 50 nM. Pharmaceutical compn. comprising the compd. I is claimed.

IT **453564-10-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-aminopyridine-3-carboxamides for treating angiogenesis mediated diseases)

RN 453564-10-8 CAPLUS

CN Benzamide, N-(2-acetyl-1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)-2-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)

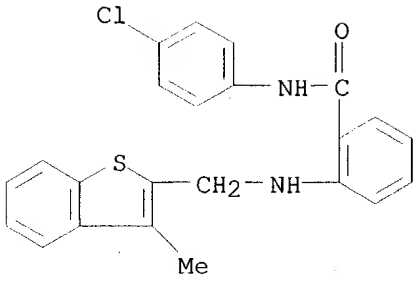


L31 ANSWER 2 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2000:769086 CAPLUS
DOCUMENT NUMBER: 133:335159
TITLE: Preparation of N-pyridinyl-2-[(thienylcarbonyl)amino]benzamides and analogs as anticoagulants
INVENTOR(S): Arnaiz, Damian O.; Chou, Yuo-ling; Griedel, Brian D.; Karanjawala, Rushad E.; Kochanny, Monica J.; Lee, Wheeseong; Liang, Amy Mei; Morrissey, Michael M.; Phillips, Gary B.; Sacchi, Karna Lyn; Sakata, Steven T.; Shaw, Kenneth J.; Snider, R. Michael; Wu, Shung C.; Ye, Bin; Zhao, Zuchun
PATENT ASSIGNEE(S): Berlex Laboratories, Inc., USA
SOURCE: U.S., 113 pp., Cont.-in-part of U.S. Ser. No. 994,284, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6140351	A	20001031	US 1998-187459	19981105
CA 2315070	AA	19990701	CA 1998-2315070	19981127
WO 9932477	A1	19990701	WO 1998-EP7650	19981127

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:143094 CAPLUS
TITLE: Preparation of substituted (2S)-(arylamino)-3-(biphenyl-4-yl)propionic acids as antagonists of factor IX for inhibiting the intrinsic pathway of blood coagulation
INVENTOR(S): Mjalli, Adnan M. M.; Andrews, Robert C.; Guo, Xiao-chuan; Christen, Daniel Peter; Gohimmukkula, Devi Reddy; Huang, Guoxiang; Rothlein, Robert; Tyagi, Sameer; Yaramasu, Tripura; Behme, Christopher
PATENT ASSIGNEE(S): Transtech Pharma, Inc., USA
SOURCE: PCT Int. Appl., 326 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014844	A2	20040219	WO 2003-US25045	20030808

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

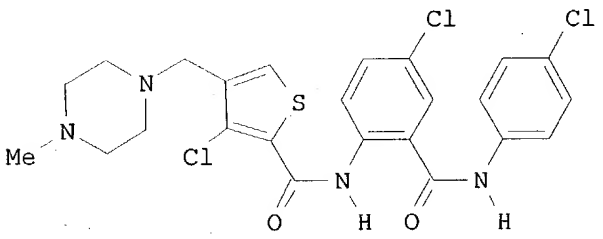
PRIORITY APPLN. INFO.: US 2002-402272P P 20020809
ED Entered STN: 22 Feb 2004
AB The title compds. Ar2XCH(VAr1)(CH2)cG [I; c = 0-2; G = H, CO2R1, CH2OR1, COR1, CR1:NOR2, an acid isostere (wherein R1, R2 = H, alkyl, aryl, etc.); V = (CH2)bO(CH2)a, (CH2)bNR7(CH2)a, (CH2)bO, (CH2)bNR7, (CH2)a, a bond (a = 0-2; b = 1-2; R7 = H, alkyl, aryl, etc.); X = NR8, COR8, NR8CO, etc. (R8 = H, alkyl, aryl, etc.); Ar1 = (un)substituted aryl, heteroaryl, cycloalkylaryl, etc.; Ar2 = (un)substituted aryl or heteroaryl], useful as antagonists, or more preferably, partial antagonists of factor IX and thus, may be used to inhibit the intrinsic pathway of blood coagulation, were prepd. Thus, reacting Me 2-L-amino-3-biphenyl-4-yl-propionate with isoquinoline-3-carboxylic acid followed by hydrolysis afforded 81% 3-biphenyl-4-yl-(2S)-[(isoquinoline-3-carbonyl)amino]propionic acid. The compds. I inhibit factor IX with IC50 of less than 30 .mu.M, and are useful in a variety of applications including the management, treatment

NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9918759	A1	19990712	AU 1999-18759	19981127	
AU 751856	B2	20020829			
EP 1040108	A1	20001004	EP 1998-963519	19981127	
EP 1040108	B1	20040225			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 2001526283	T2	20011218	JP 2000-525414	19981127	
NZ 503809	A	20020426	NZ 1998-503809	19981127	
ZA 9811599	A	19990817	ZA 1998-11599	19981217	
NO 2000003111	A	20000818	NO 2000-3111	20000616	
US 6380221	B1	20020430	US 2000-631450	20000803	
US 6498185	B1	20021224	US 2000-631452	20000803	

PRIORITY APPLN. INFO.: US 1997-994284 B2 19971219
US 1998-187459 A 19981105
WO 1998-EP7650 W 19981127

OTHER SOURCE(S): MARPAT 133:335159
ED Entered STN: 02 Nov 2000
GI



II

AB REZDR3 [I; D,E = Z1NR5C(:X), Z1NR5SO0-2, etc.; R,R3 = (un)substituted heterocyclyl or -aryl; R5 = H, (ar)alkyl, aryl; X = O, S, H2; Z = (un)substituted heterocyclylene or -arylene; Z1 = bond, alkylene, alkylidene, etc.] were prepd. as factor Xa, thrombin, and prothrombinase inhibitors. Thus, H2NZCONHC6H4Cl-4 (Z = 4-chloro-1,2-phenylene)(prepn. given) was N-acylated by 3-chloro-4-chloromethyl-2-thiophenecarbonyl chloride and the product aminated by 1-methylpiperazine to give title compd. II. Data for biol. activity of I were given.

IT **229339-81-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-pyridinyl-2-[(thienylcarbonyl)amino]benzamides and analogs as anticoagulants)

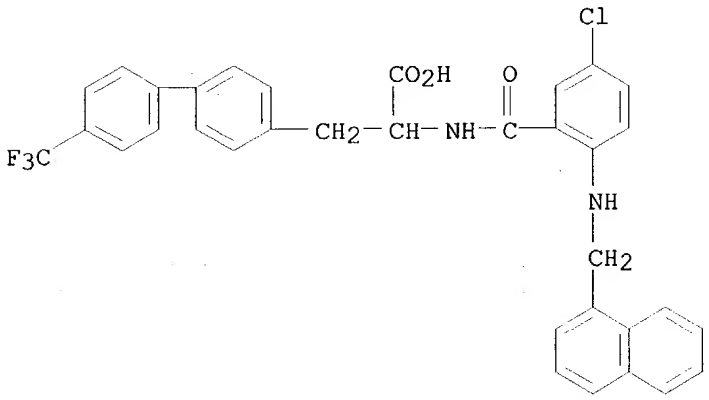
RN 229339-81-5 CAPLUS

CN Benzamide, N-(4-chlorophenyl)-2-[[(3-methylbenzo[b]thien-2-yl)methyl]amino]- (9CI) (CA INDEX NAME)

and/or control of diseases caused in part by the intrinsic clotting pathway utilizing factor IX. Such diseases or disease states include stroke, myocardial infarction, aneurysm surgery, and deep vein thrombosis assocd. with surgical procedures, long periods of confinement, and acquired or inherited pro-coagulant states. The pharmaceutical compn. comprising the compd. I is claimed.

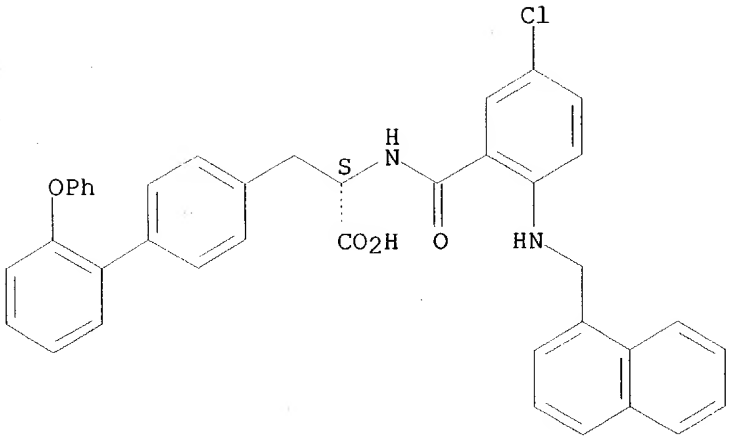
IT **660828-55-7P 660828-57-9P 660828-58-0P**
660828-60-4P 660828-61-5P 660828-62-6P
660828-65-9P 660828-67-1P 660828-68-2P
660828-71-7P 660828-72-8P 660828-73-9P
660828-74-0P 660828-79-5P 660828-83-1P
660828-84-2P 660828-85-3P 660828-87-5P
660828-88-6P 660828-92-2P 660829-05-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted (2S)-(arylamino)-3-(biphenyl-4-yl)propionic acids as antagonists of factor IX for inhibiting the intrinsic pathway of blood coagulation)

RN 660828-55-7 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]amino]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



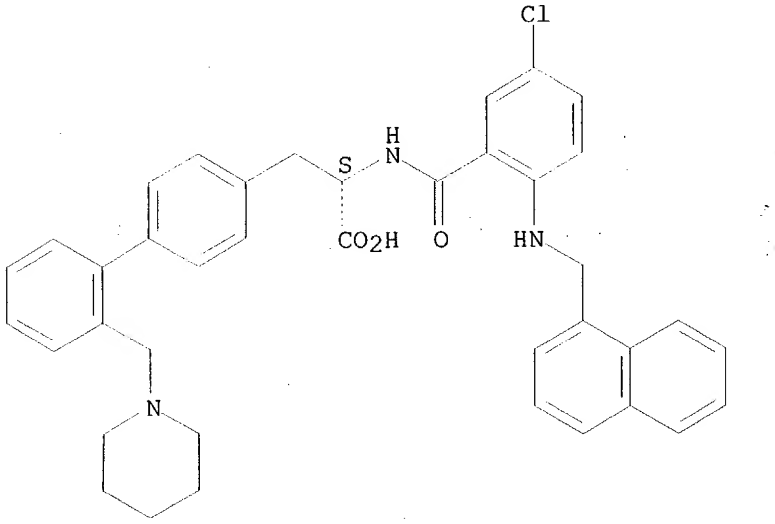
RN 660828-57-9 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]amino]-2'-phenoxy-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



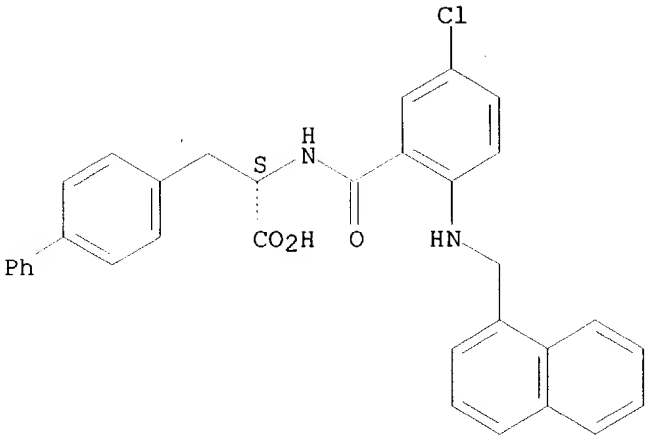
RN 660828-58-0 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]amino]-2'-(1-piperidinylmethyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



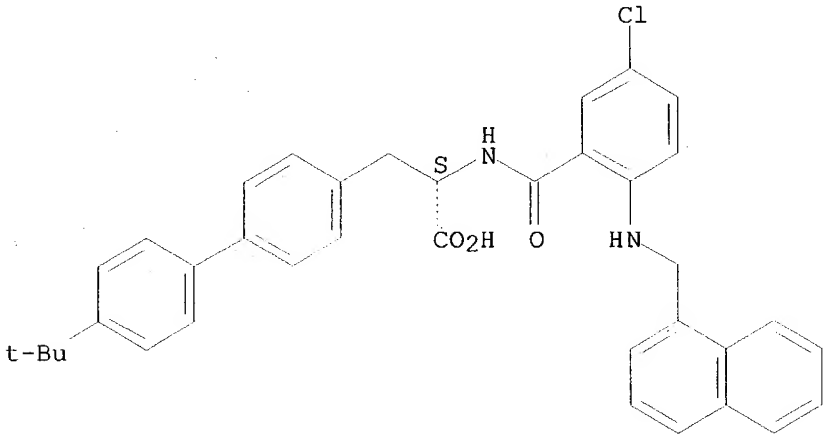
RN 660828-60-4 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



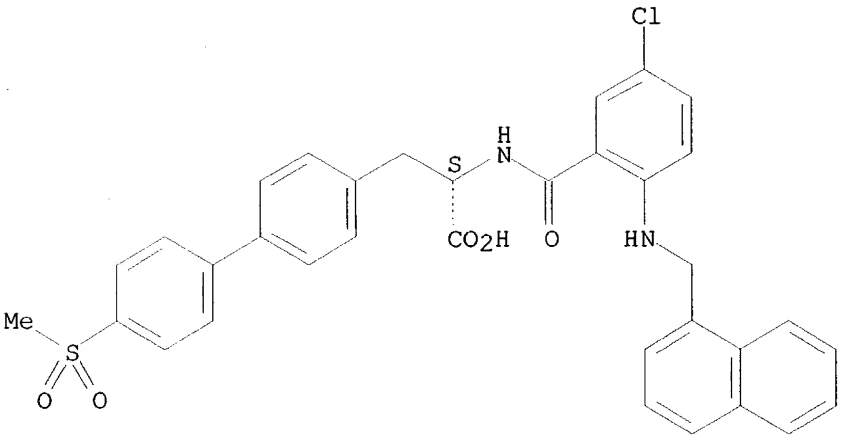
RN 660828-61-5 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]amino]-4'-(1,1-dimethylethyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



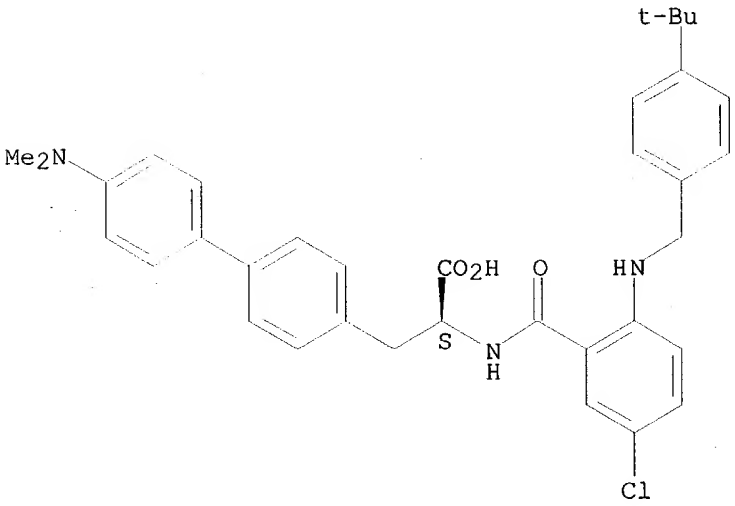
RN 660828-62-6 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]amino]-4'-(methylsulfonyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



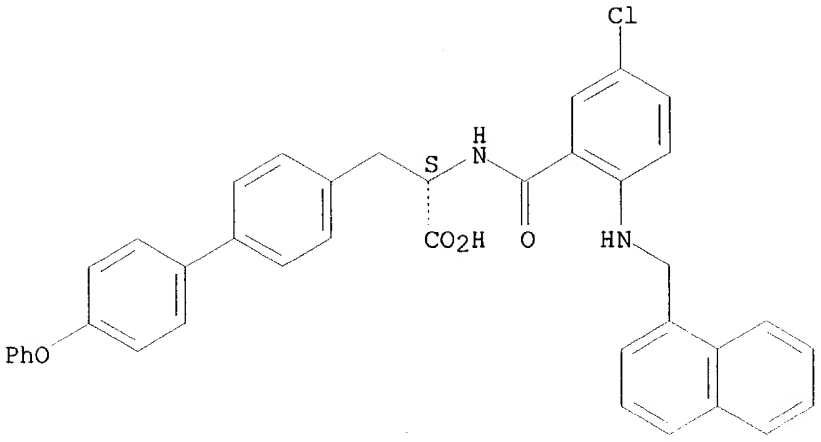
RN 660828-65-9 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]benzoyl]amino]-4'-(dimethylamino)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



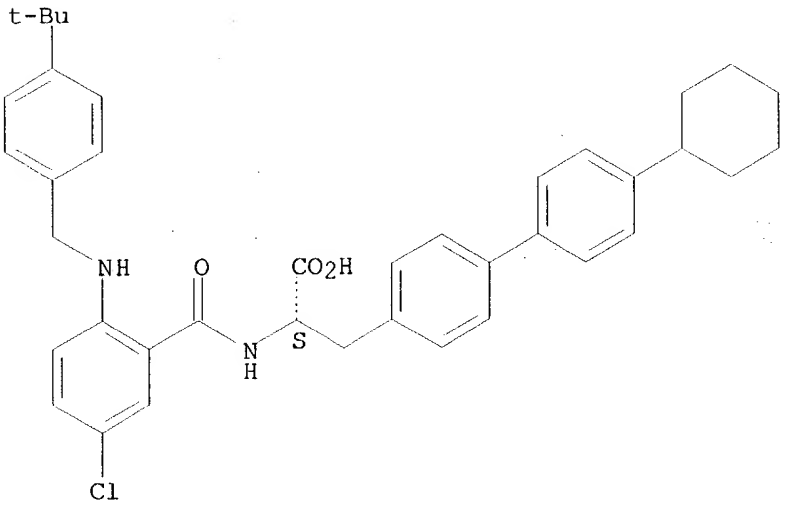
RN 660828-67-1 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]amino]-4'-phenoxy-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



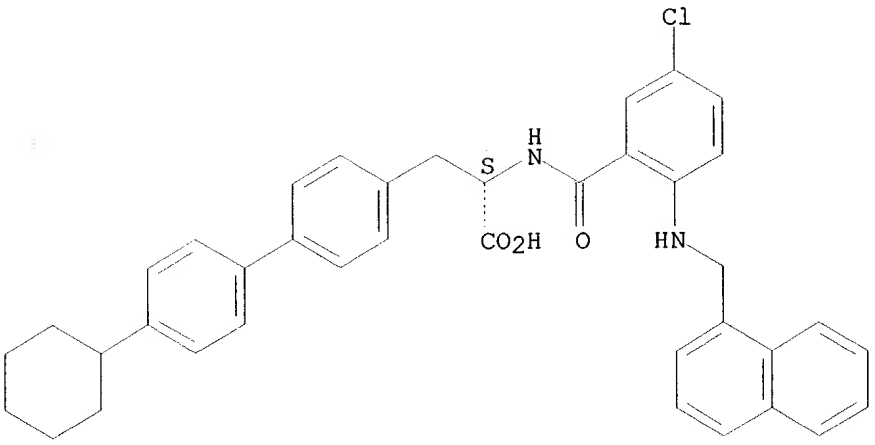
RN 660828-68-2 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]benzoyl]amino]-4'-cyclohexyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



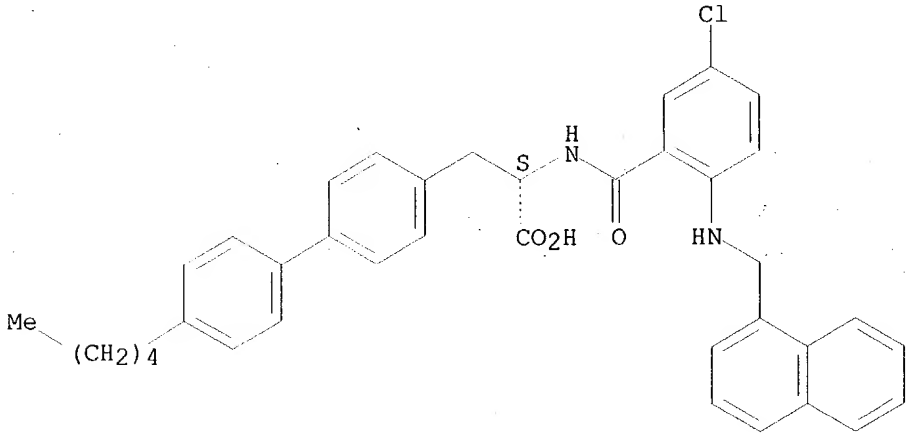
RN 660828-71-7 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]amino]-4'-cyclohexyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



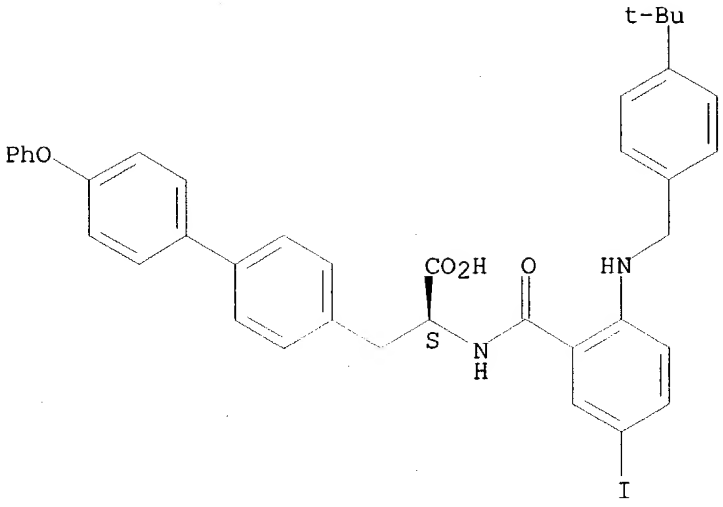
RN 660828-72-8 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]amino]-4'-pentyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



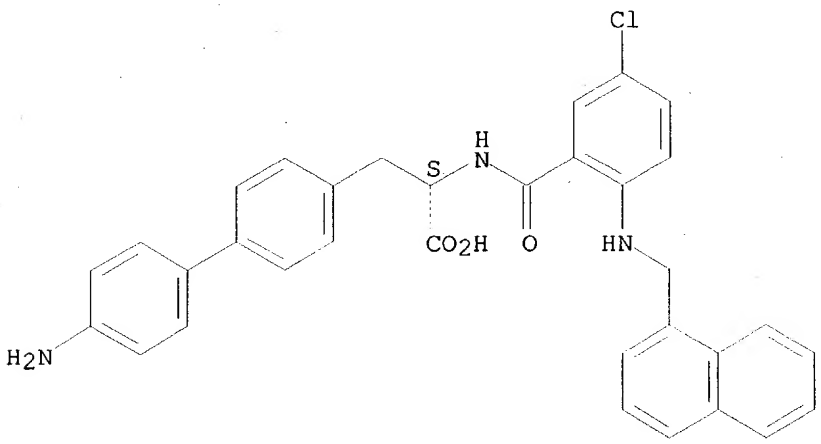
RN 660828-73-9 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[2-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]-5-iodobenzoyl]amino]-4'-phenoxy-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



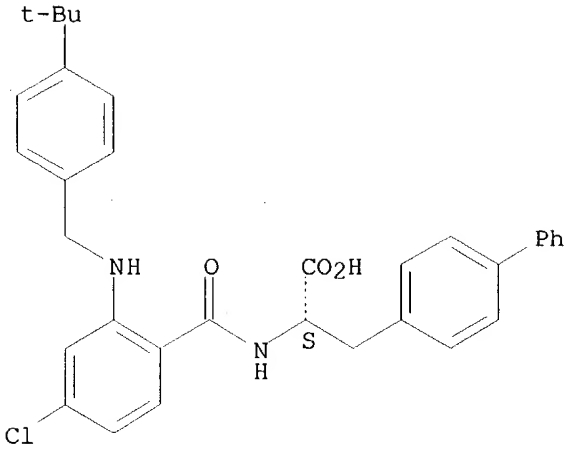
RN 660828-74-0 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 4'-amino-.alpha.-[[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



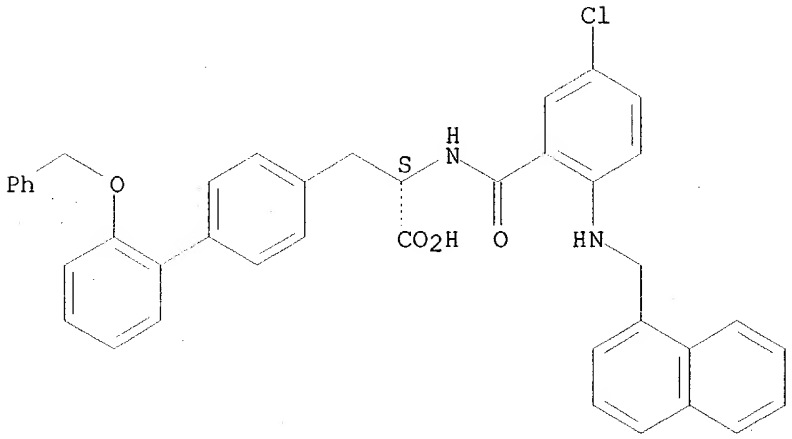
RN 660828-79-5 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[4-chloro-2-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]benzoyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



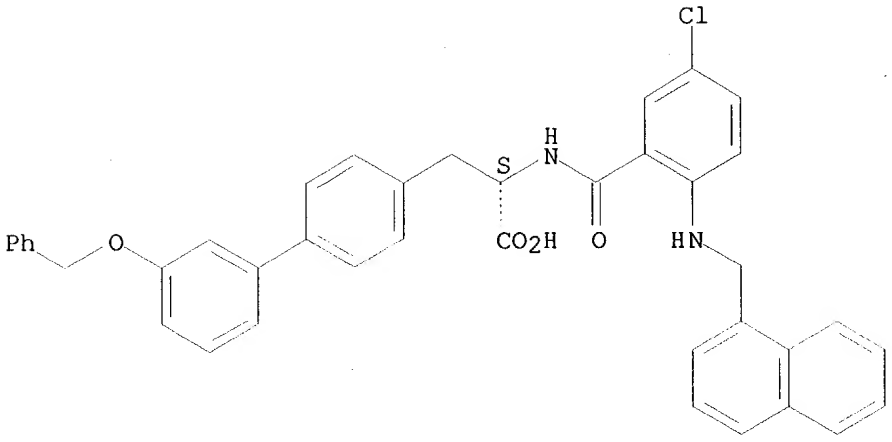
RN 660828-83-1 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]amino]-2'-(phenylmethoxy)-, (.alpha.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



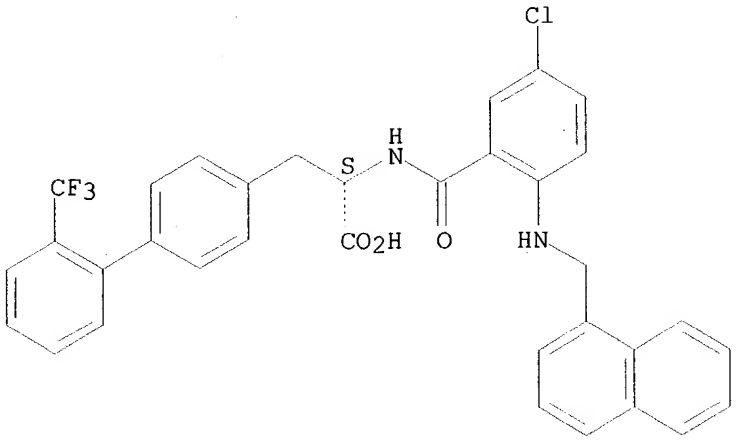
RN 660828-84-2 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]amino]-3'-(phenylmethoxy)-, (.alpha.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



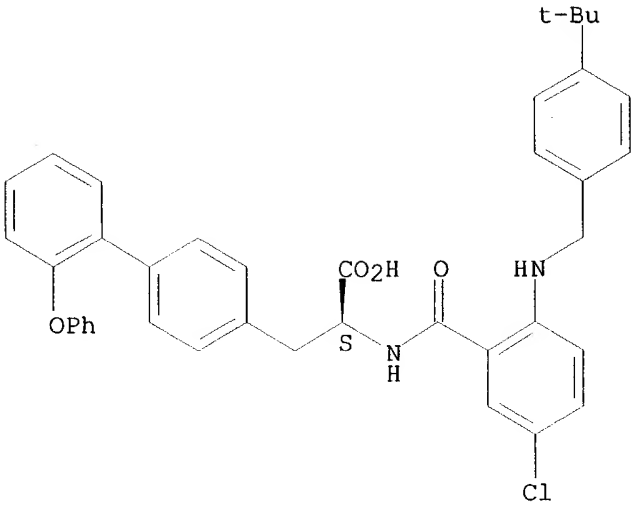
RN 660828-85-3 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]amino]-2'-(trifluoromethyl)-, (.alpha.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



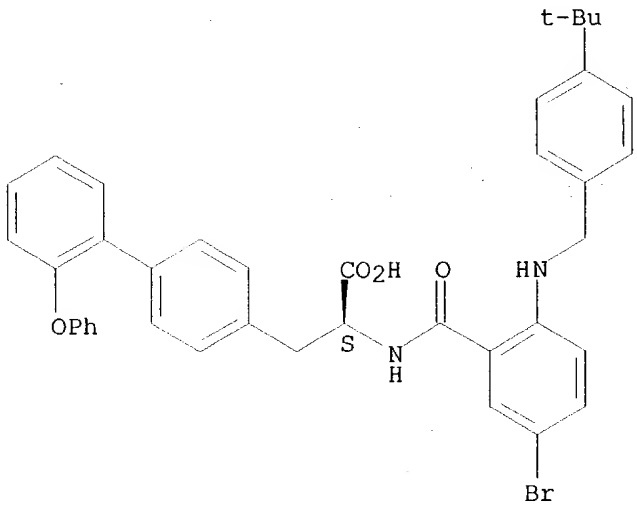
RN 660828-87-5 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]benzoyl]amino]-2'-phenoxy-, (.alpha.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



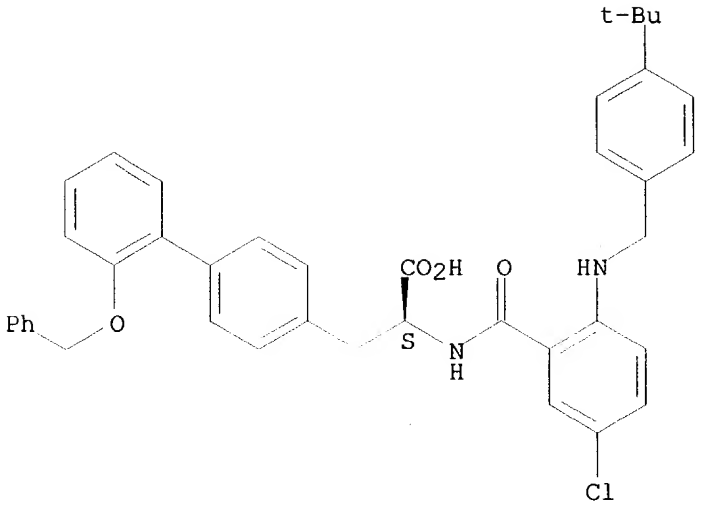
RN 660828-88-6 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-bromo-2-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]benzoyl]amino]-2'-phenoxy-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



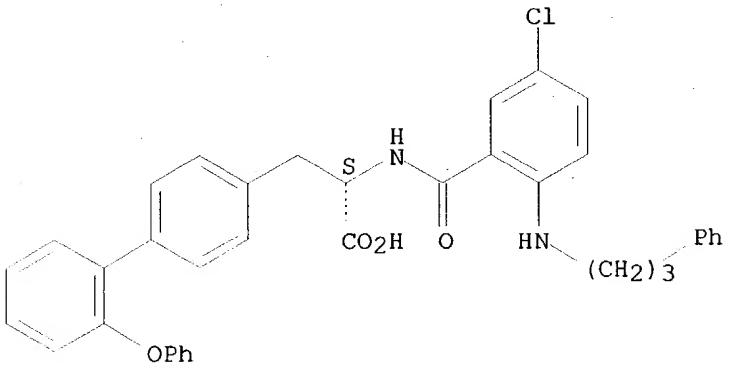
RN 660828-92-2 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]benzoyl]amino]-2'-(phenylmethoxy)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

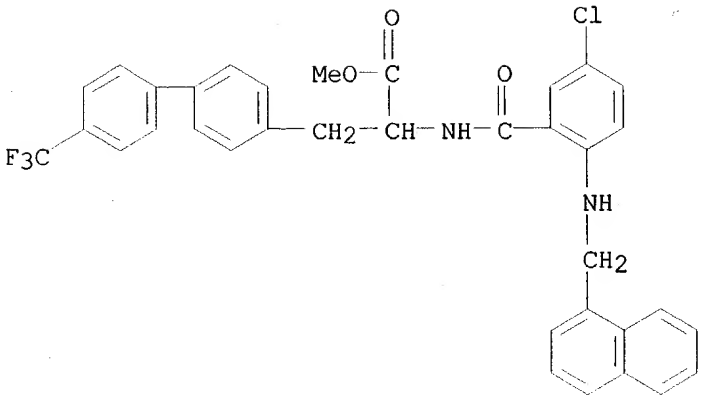


RN 660829-05-0 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[(3-phenylpropyl)amino]benzoyl]amino]-2'-phenoxy-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

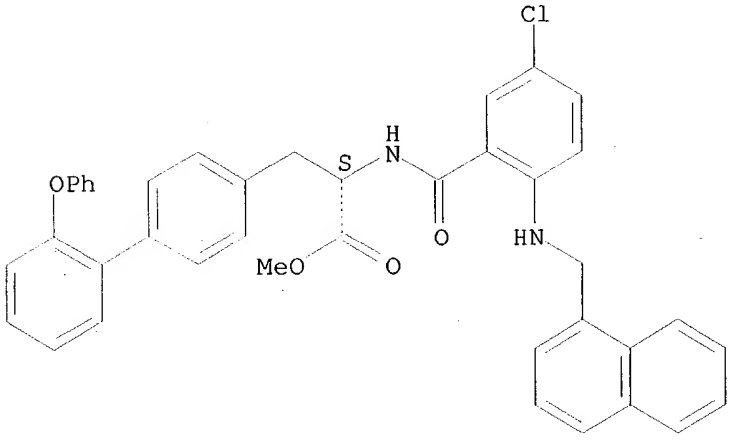


IT **660831-01-6P 660831-06-1P 660831-07-2P**
660831-08-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of substituted (2S)-(arylamino)-3-(biphenyl-4-yl)propionic acids as antagonists of factor IX for inhibiting the intrinsic pathway of blood coagulation)
RN 660831-01-6 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]amino]-4'-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



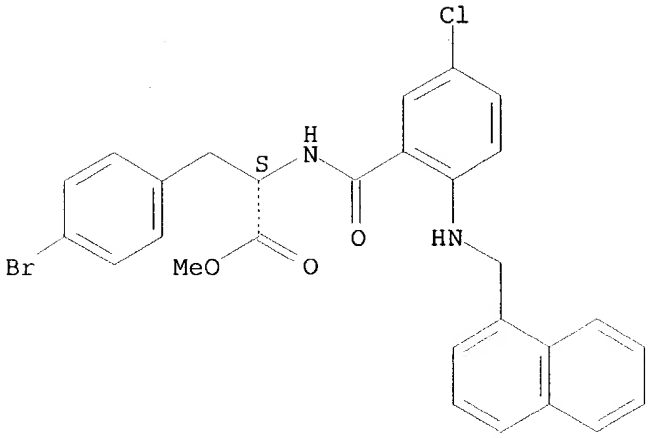
RN 660831-06-1 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]amino]-2'-phenoxy-, methyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



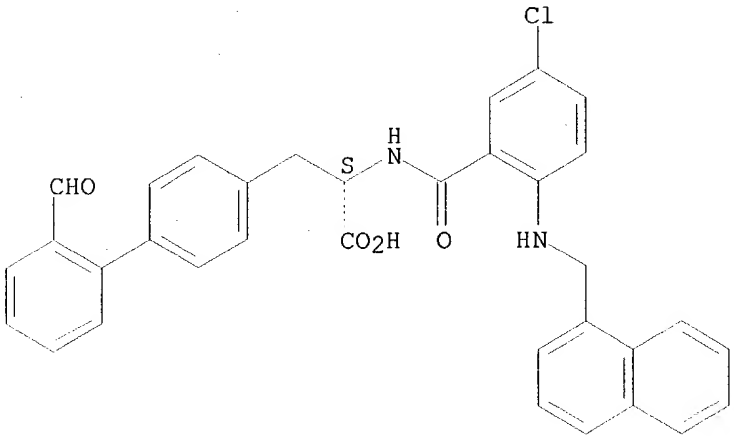
RN 660831-07-2 CAPLUS
CN L-Phenylalanine, 4-bromo-N-[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 660831-08-3 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[5-chloro-2-[(1-naphthalenylmethyl)amino]benzoyl]amino]-2'-formyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



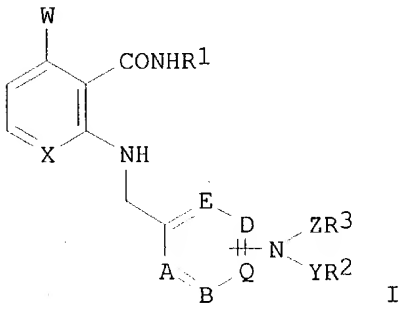
L81 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:120827 CAPLUS
DOCUMENT NUMBER: 140:181330
TITLE: Preparation of anthranylamidopyridines as inhibitors of vascular endothelial growth factor receptor-2 and -3 (VEGFR-2 and -3).
INVENTOR(S): Huth, Andreas; Krueger, Martin; Zorn, Ludwig; Ince, Stuart; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey, Martin; Hess-Stump, Holger
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2004013102	A1	20040212	WO 2003-EP7964	20030722	
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10235690	A1	20040219	DE 2002-10235690	20020731	

PRIORITY APPLN. INFO.: DE 2002-10235690 A 20020731
DE 2003-10328036 A 20030619

ED Entered STN: 13 Feb 2004
GI



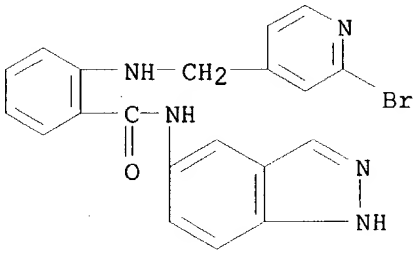
I

AB Title compds. [I; X = CH, N; W = H, F; A, B, D, E, Q = N, C; .ltoreq.2 of A, B, D, E, Q = N; R1 = (substituted) aryl, heteroaryl; Y, Z = bond, CO, CS, SO2; R2, R3 = H, CONR9R10, SO2R6, COR11, NR9R10, (substituted) alkyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; R2YNZAR3 = atoms to form a 3-8 membered (substituted) (unsatd.) ring; R6 = H, alkyl, haloalkyl, (substituted) aryl, heteroaryl, NR9R10; R9, R10 = H, alkyl, alkenyl, aryl, cycloalkyl, etc.; R11 = alkyl, alkoxy, hydroxyalkyl, hydroxyalkoxy, cycloalkyl, (substituted) Ph, pyridyl, biphenyl, naphthyl], were prepd. Thus, 2-[(2-bromopyridin-4-ylmethyl)amino]-N-(3-trifluoromethylphenyl)benzamide (prepn. given) pyridine, and N,N-dimethylaminoethylamine were heated in a pressure vessel for 5 h at 200.degree. to give 2-[[2-(2-dimethylaminoethylamino)pyridin-4-ylmethyl]amino]-N-(3-trifluoromethylphenyl)benzamide. I inhibited VEGFR-2 with IC50 = 8-65 nM. I can be used for treatment of tumor or metastasis growth, psoriasis, Kaposi's sarcoma, restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, hemangioma, angiofibroma, eye disease, renal diseases, transplant rejection, fibrotic diseases, mesangial cell proliferative diseases, atherosclerosis, injuries to nervous tissue and for inhibition of the reocclusion of vessels after balloon catheter treatment, in vessel prosthetics, or after the application of mech. devices to hold open vessels, as immunosuppressants, for scar-free wound healing, age spots and contact dermatitis.

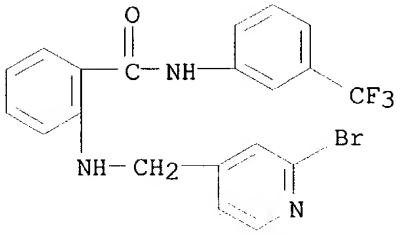
IT **657401-05-3 657401-06-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of anthranylamidopyridines as inhibitors of vascular endothelial growth factor receptor)

RN 657401-05-3 CAPLUS

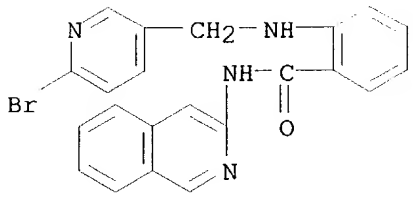
CN Benzamide, 2-[[(2-bromo-4-pyridinyl)methyl]amino]-N-1H-indazol-5-yl- (9CI) (CA INDEX NAME)



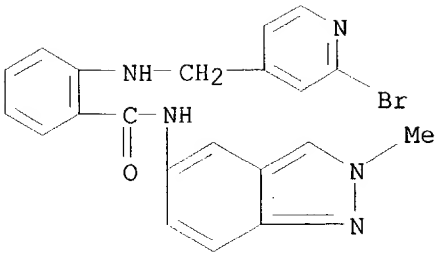
RN 657401-06-4 CAPLUS
CN Benzamide, 2-[[(2-bromo-4-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



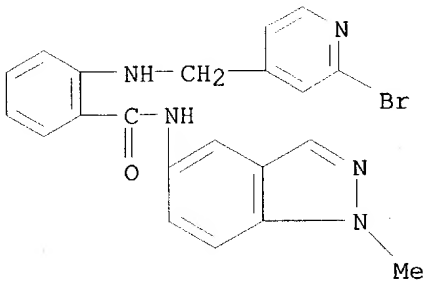
IT **474799-36-5P 657401-01-9P 657401-04-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of anthranylamidopyridines as inhibitors of vascular endothelial growth factor receptor)
RN 474799-36-5 CAPLUS
CN Benzamide, 2-[[(6-bromo-3-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)



RN 657401-01-9 CAPLUS
CN Benzamide, 2-[[(2-bromo-4-pyridinyl)methyl]amino]-N-(2-methyl-2H-indazol-5-yl)- (9CI) (CA INDEX NAME)



RN 657401-04-2 CAPLUS
CN Benzamide, 2-[[(2-bromo-4-pyridinyl)methyl]amino]-N-(1-methyl-1H-indazol-5-yl)- (9CI) (CA INDEX NAME)



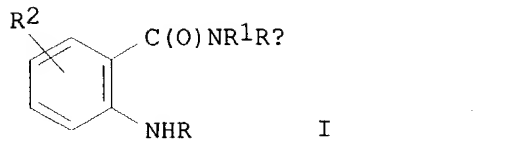
L31 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:41461 CAPLUS
DOCUMENT NUMBER: 140:93789
TITLE: Preparation of substituted anthranilic amide derivatives as VEGF modulators and methods of use against cancer and other disorders
INVENTOR(S): Huang, Qi; Chen, Guoqing; Li, Aiwen; Riahi, Babak; Tasker, Andrew; Yang, Kevin; Yuan, Chester Chenguang
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 204 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005279	A2	20040115	WO 2003-US21601	20030709

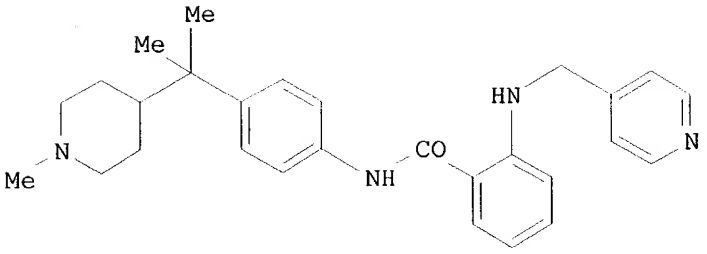
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-395144P P 20020709
US 2003-615809 A 20030708
OTHER SOURCE(S): MARPAT 140:93789

ED Entered STN: 18 Jan 2004
GI



I

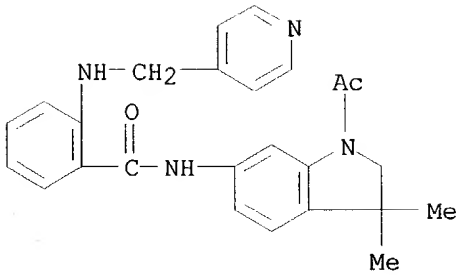


II

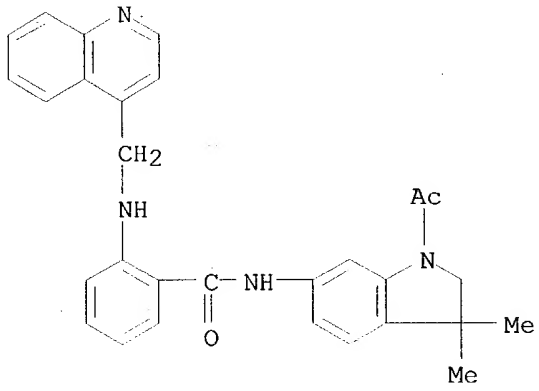
AB Selected substituted anthranilic amide derivs. (shown as I; variables defined below; e.g. II) are effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving cancer and the like. Although the methods of prepn. are not claimed, .apprx.139 example prepns. of I and .apprx.80 of intermediates are included. For example, II was prepd. in 3 steps starting from 2-nitrobenzoic acid and [4-[1-Methyl-1-(1-methylpiperidin-4-yl)ethyl]phenyl]amine and involving intermediates 2-nitro-N-[4-[1-methyl-1-(1-methylpiperidin-4-yl)ethyl]phenyl]benzamide and 2-amino-N-[4-[1-methyl-1-(1-methylpiperidin-4-yl)ethyl]phenyl]benzamide. Compds. I showed inhibition of KDR at doses <50 .mu.M. Some of the exemplified I inhibit VEGF-stimulated HUVEC proliferation <1 .mu.M. Compds. I are active at doses <150 mpk in a tumor model. For I: R = (un)substituted 9- or 10-membered fused heterocyclyl, -(CH2)1-2-R3; R1 = (un)substituted 5-6 membered satd. or partially satd. heterocyclyl, 9-10 membered bicyclic and 13-14 membered tricyclic satd. or partially satd. heterocyclyl, and phenyl; R2 is .gtoreq.1 substituents = H, halo, hydroxy, amino, C1-6-alkyl, C1-6-haloalkyl, C1-6-alkoxy, C1-2-alkylamino, aminosulfonyl, C3-6-cycloalkyl, cyano, C1-2-hydroxyalkyl, nitro, C2-3-alkenyl, C2-3-alkynyl, C1-6-haloalkoxy, C1-6-carboxyalkyl, 4-6-membered heterocyclyl-C1-6-alkylamino, (un)substituted Ph and (un)substituted 4-6 membered heterocyclyl; Ra = H, C1-2-alkyl; addnl. details are given in the claims.

IT **645418-47-9P**, N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[(pyridin-4-yl)methyl]amino]benzamide **645418-59-3P**, N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[(quinolin-4-yl)methyl]amino]benzamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of substituted anthranilic amide derivs. as VEGF modulators and methods of use against cancer and other disorders)

RN 645418-47-9 CAPLUS
CN Benzamide, N-(1-acetyl-2,3-dihydro-3,3-dimethyl-1H-indol-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



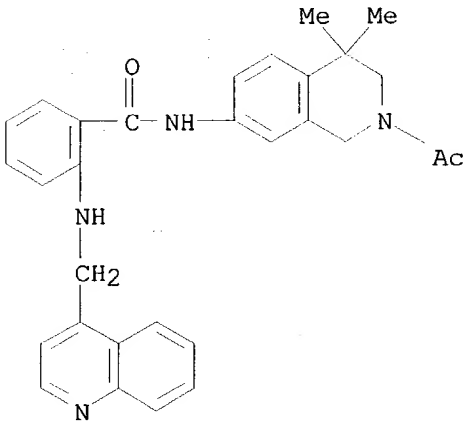
RN 645418-59-3 CAPLUS
CN Benzamide, N-(1-acetyl-2,3-dihydro-3,3-dimethyl-1H-indol-6-yl)-2-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



IT **453564-10-8P**, N-(2-Acetyl-4,4-dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-2-[[(quinolin-4-yl)methyl]amino]benzamide **645418-43-5P**, N-[4-[1-Methyl-1-(1-methylpiperidin-4-yl)ethyl]phenyl]-2-[[(pyridin-4-yl)methyl]amino]benzamide **645418-48-0P**, N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-(4-fluorobenzylamino)benzamide **645418-49-1P**, N-[4-[1-Methyl-1-(1-methylpiperidin-4-yl)ethyl]phenyl]-2-[[(quinolin-4-yl)methyl]amino]benzamide **645418-50-4P**, 2-(4-Fluorobenzylamino)-N-[4-[1-methyl-1-(1-methylpiperidin-4-yl)ethyl]phenyl]benzamide **645418-51-5P**, N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[(pyridin-4-yl)methyl]amino]benzamide **645418-52-6P**, N-(1-Ethyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[(pyridin-4-yl)methyl]amino]benzamide **645418-56-0P**, N-[3,3-Dimethyl-1-[(4-methylpiperazin-1-yl)carbonyl]-2,3-dihydro-1H-indol-6-yl]-2-(4-fluorobenzylamino)benzamide **645418-62-8P**, N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[(quinolin-4-yl)methyl]amino]benzamide **645418-64-0P**, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-2-(4-fluorobenzylamino)benzamide **645418-67-3P**, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-2-fluoro-6-(4-fluorobenzylamino)benzamide **645418-68-4P**, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-3-fluoro-6-(4-fluorobenzylamino)benzamide **645418-69-5P**, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-4-fluoro-6-(4-fluorobenzylamino)benzamide **645418-70-8P**, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-3,4-difluoro-6-(4-fluorobenzylamino)benzamide **645418-71-9P**, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-2-[[(2-methoxypyridin-4-yl)methyl]amino]benzamide **645418-74-2P**, N-(4,4-Dimethyl-1,2,3,4-

tetrahydroisoquinolin-7-yl)-2-fluoro-6-[[(2-methoxypyridin-4-yl)methyl]amino]benzamide **645418-75-3P**, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-3-fluoro-6-[[(2-methoxypyridin-4-yl)methyl]amino]benzamide **645418-76-4P**, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-4-fluoro-6-[[(2-methoxypyridin-4-yl)methyl]amino]benzamide **645418-78-6P**, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-2-[[(1H-pyrrolo[2,3-b]pyridin-3-yl)methyl]amino]benzamide **645418-81-1P**, N-(4,4-Dimethyl-1,2,3,4-tetrahydroquinolin-7-yl)-2-[[(1H-pyrrolo[2,3-b]pyridin-3-yl)methyl]amino]benzamide **645418-82-2P**, N-(4,4-Dimethyl-2-oxo-1,2,3,4-tetrahydroquinolin-7-yl)-2-[[(1H-pyrrolo[2,3-b]pyridin-3-yl)methyl]amino]benzamide **645418-83-3P**, 1,1-Dimethylethyl 7-[[[2-[[(7-fluoro-1H-indol-3-yl)methyl]amino]phenyl]carbonyl]amino]-4,4-dimethyl-3,4-dihydro-2(1H)-isoquinolinecarboxylate **645418-97-9P**, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-2-[[(pyridazin-4-yl)methyl]amino]benzamide **645418-98-0P**, 4,4-Dimethyl-7-[[2-[[(quinoxalin-5-yl)methyl]amino]benzoyl]amino]-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-butyl ester **645418-99-1P**, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-2-[[(quinoxalin-5-yl)methyl]amino]benzamide **645419-14-3P**, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-3-fluoro-2-(4-fluorobenzylamino)benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of substituted anthranilic amide derivs. as VEGF modulators and methods of use against cancer and other disorders)

RN 453564-10-8 CAPLUS
CN Benzamide, N-(2-acetyl-1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)-2-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 645418-43-5 CAPLUS
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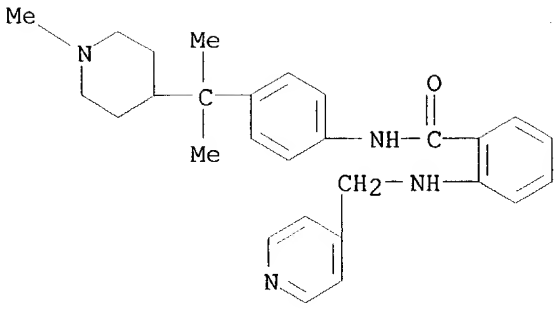
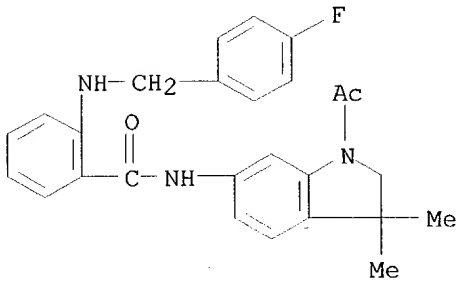
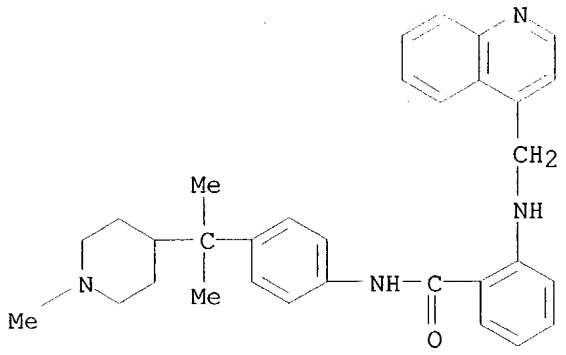


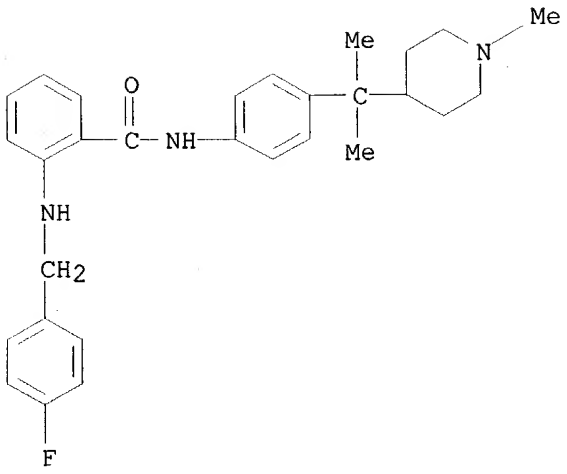
RN 645418-48-0 CAPLUS
CN Benzamide, N-(1-acetyl-2,3-dihydro-3,3-dimethyl-1H-indol-6-yl)-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



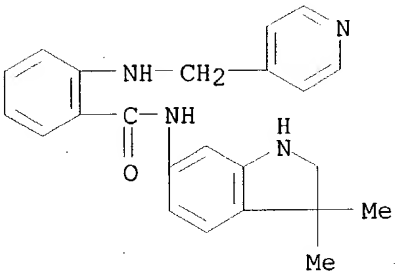
RN 645418-49-1 CAPLUS
CN Benzamide, N-[4-[1-methyl-1-(1-methyl-4-piperidinyl)ethyl]phenyl]-2-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



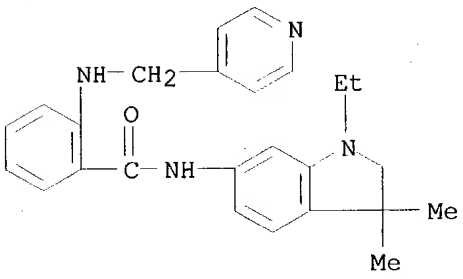
RN 645418-50-4 CAPLUS
CN Benzamide, 2-[[(4-fluorophenyl)methyl]amino]-N-[4-[1-methyl-1-(1-methyl-4-piperidinyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



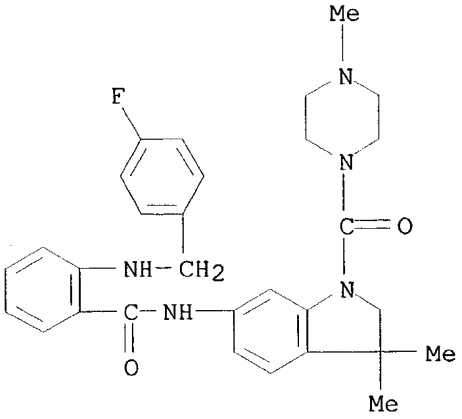
RN 645418-51-5 CAPLUS
CN Benzamide, N-(2,3-dihydro-3,3-dimethyl-1H-indol-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



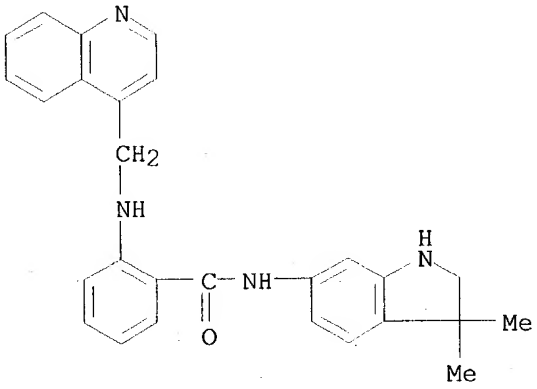
RN 645418-52-6 CAPLUS
CN Benzamide, N-(1-ethyl-2,3-dihydro-3,3-dimethyl-1H-indol-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



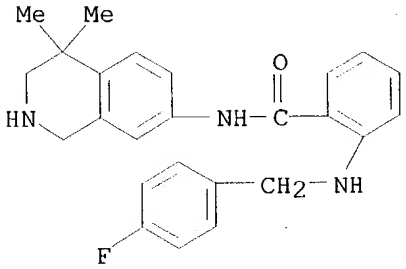
RN 645418-56-0 CAPLUS
CN Benzamide, N-[2,3-dihydro-3,3-dimethyl-1-[(4-methyl-1-piperazinyl)carbonyl]-1H-indol-6-yl]-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



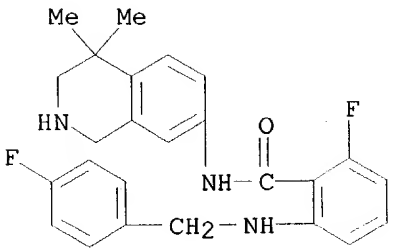
RN 645418-62-8 CAPLUS
CN Benzamide, N-(2,3-dihydro-3,3-dimethyl-1H-indol-6-yl)-2-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



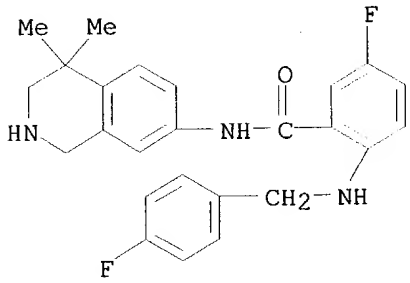
RN 645418-64-0 CAPLUS
CN Benzamide, 2-[[(4-fluorophenyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)



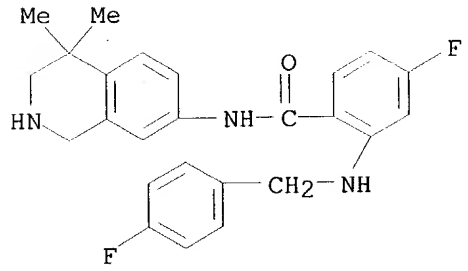
RN 645418-67-3 CAPLUS
CN Benzamide, 2-fluoro-6-[[(4-fluorophenyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)



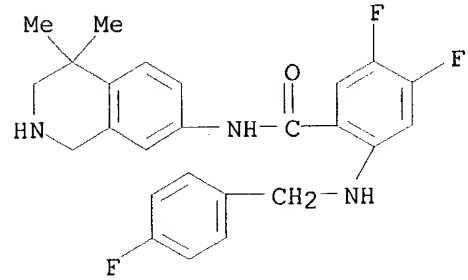
RN 645418-68-4 CAPLUS
CN Benzamide, 5-fluoro-2-[[(4-fluorophenyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)



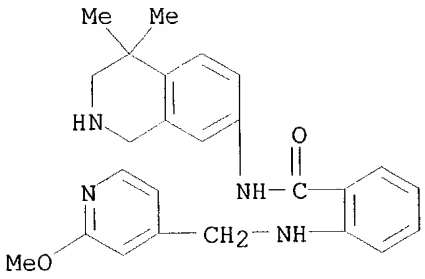
RN 645418-69-5 CAPLUS
CN Benzamide, 4-fluoro-2-[[(4-fluorophenyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)



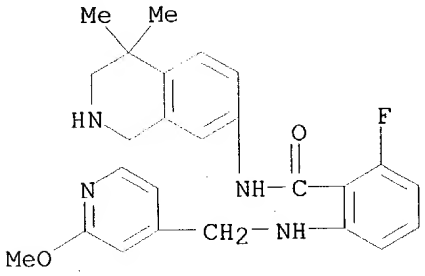
RN 645418-70-8 CAPLUS
CN Benzamide, 4,5-difluoro-2-[[(4-fluorophenyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)



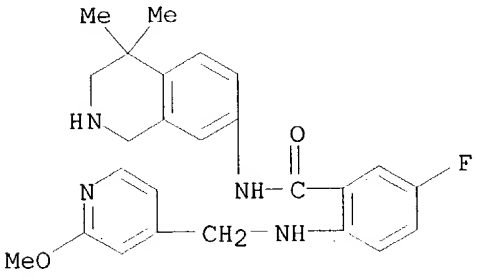
RN 645418-71-9 CAPLUS
CN Benzamide, 2-[[(2-methoxy-4-pyridinyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)



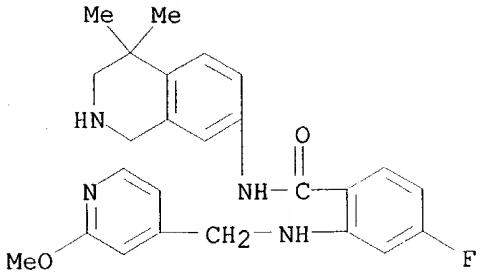
RN 645418-74-2 CAPLUS
CN Benzamide, 2-fluoro-6-[[(2-methoxy-4-pyridinyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)



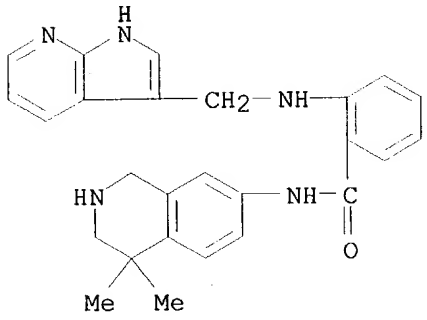
RN 645418-75-3 CAPLUS
CN Benzamide, 5-fluoro-2-[[(2-methoxy-4-pyridinyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)



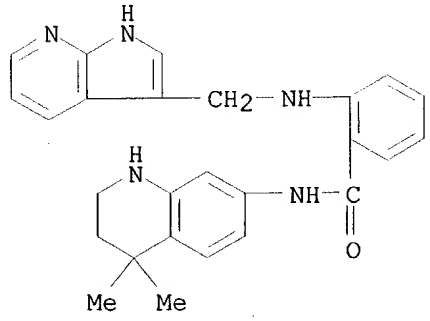
RN 645418-76-4 CAPLUS
CN Benzamide, 4-fluoro-2-[[(2-methoxy-4-pyridinyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)



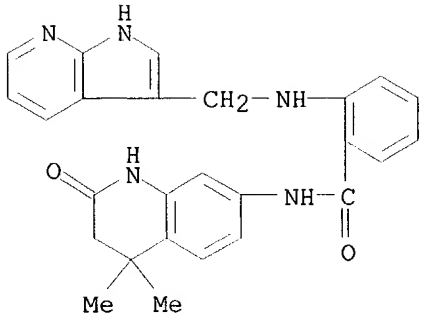
RN 645418-78-6 CAPLUS
CN Benzamide, 2-[(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)



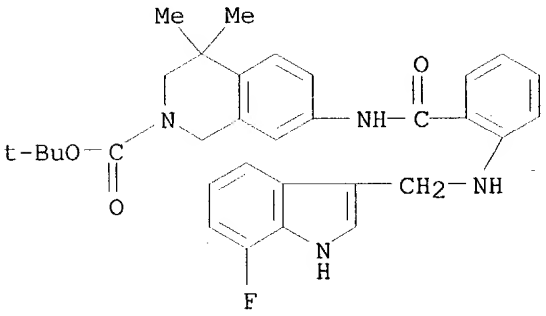
RN 645418-81-1 CAPLUS
CN Benzamide, 2-[(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-quinolinyl)- (9CI) (CA INDEX NAME)



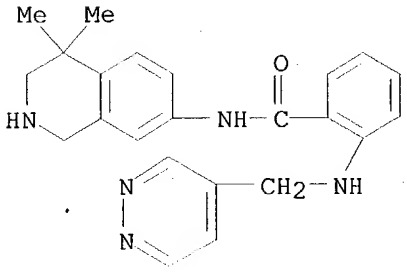
RN 645418-82-2 CAPLUS
CN Benzamide, 2-[(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-2-oxo-7-quinolinyl)- (9CI) (CA INDEX NAME)



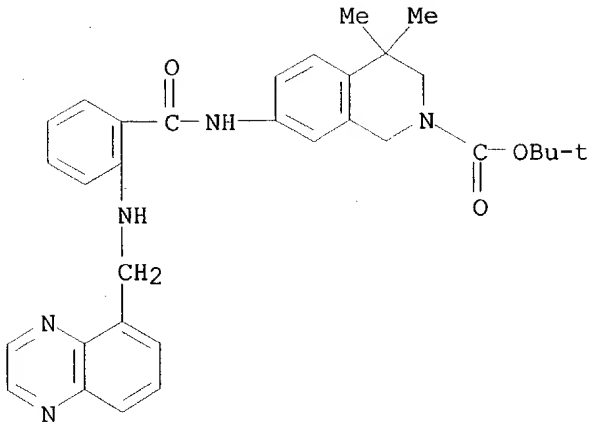
RN 645418-83-3 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 7-[[2-[[(7-fluoro-1H-indol-3-yl)methyl]amino]benzoyl]amino]-3,4-dihydro-4,4-dimethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



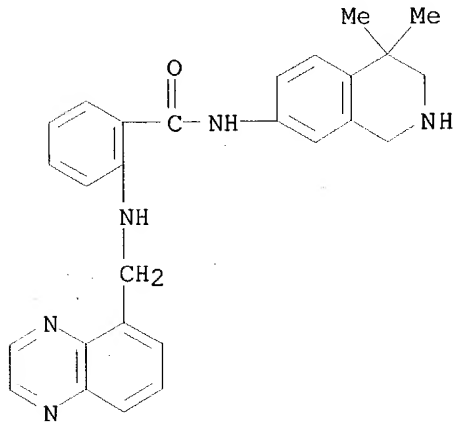
RN 645418-97-9 CAPLUS
CN Benzamide, 2-[(4-pyridazinylmethyl)amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)



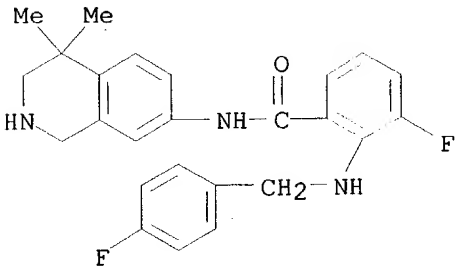
RN 645418-98-0 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-4,4-dimethyl-7-[[2-[(5-quinoxalinylmethyl)amino]benzoyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 645418-99-1 CAPLUS
CN Benzamide, 2-[(5-quinoxalinylmethyl)amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)



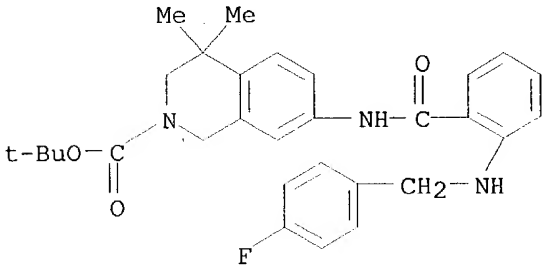
RN 645419-14-3 CAPLUS
CN Benzamide, 3-fluoro-2-[[(4-fluorophenyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)



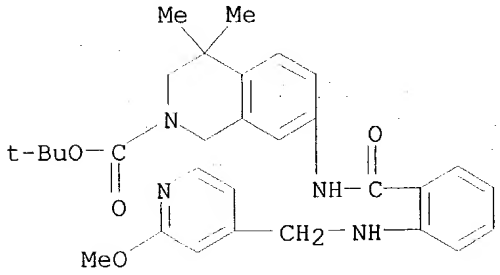
IT **645418-65-1P**, 7-[[2-(4-Fluorobenzylamino)benzoyl]amino]-4,4-dimethyl-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-butyl ester **645418-73-1P**, 7-[[2-[[(2-Methoxypyridin-4-yl)methyl]amino]benzoyl]amino]-4,4-dimethyl-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-butyl ester **645418-80-0P**, 4,4-Dimethyl-7-[[2-[[(1H-pyrrolo[2,3-b]pyridin-3-

yl)methyl]amino]benzoyl]amino]-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of substituted anthranilic amide derivs. as VEGF modulators and methods of use against cancer and other disorders)

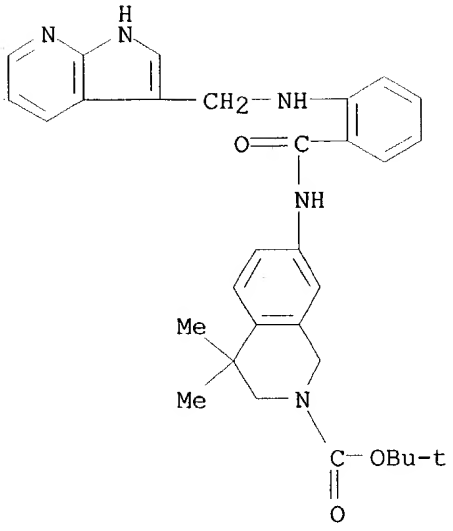
RN 645418-65-1 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 7-[[2-[[(4-fluorophenyl)methyl]amino]benzoyl]amino]-3,4-dihydro-4,4-dimethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 645418-73-1 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-7-[[2-[[(2-methoxy-4-pyridinyl)methyl]amino]benzoyl]amino]-4,4-dimethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



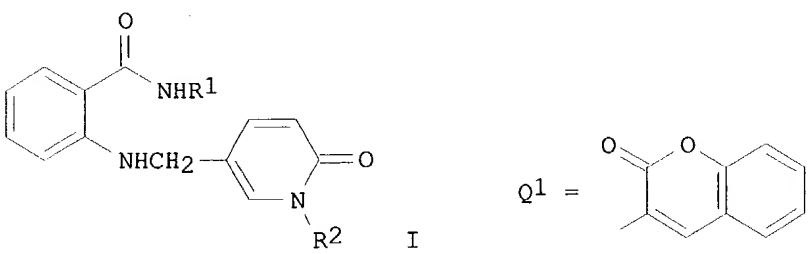
RN 645418-80-0 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-4,4-dimethyl-7-[[2-[(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)amino]benzoyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L31 ANSWER 6 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:36626 CAPLUS
DOCUMENT NUMBER: 140:93929
TITLE: Preparation of N-(pyridinylmethyl)anthranilamides as VEGFR-2 and VEGFR-3 inhibitors for treating diseases caused by persistent angiogenesis
INVENTOR(S): Huth, Andreas; Krueger, Martin; Zorn, Ludwig; Ince, Stuart; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey, Martin; Hess-Stumpp, Holger
PATENT ASSIGNEE(S): Schering AG, Germany
SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10228090	A1	20040115	DE 2002-10228090	20020619
US 2004039019	A1	20040226	US 2003-464853	20030619

PRIORITY APPLN. INFO.: DE 2002-10228090 A 20020619
US 2002-404773P P 20020821
OTHER SOURCE(S): MARPAT 140:93929
ED Entered STN: 16 Jan 2004
GI

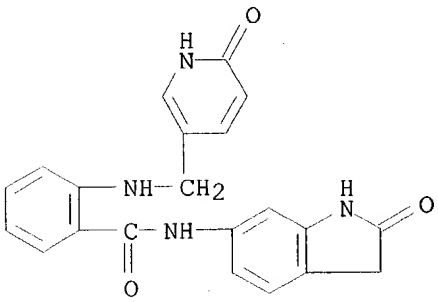


I

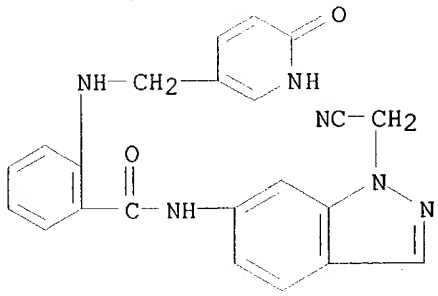
AB Title compds. [I; R1 = (substituted) indazolyl, indolinyl, quinolinyl, Q1; R2 = H, C1-3 alkyl], were prepd. Thus, 2-amino-N-(2-oxo-2,3-dihydro-1N-indol-6-yl)benzamide and pyridin-2-one-5-carboxaldehyde in MeOH was treated with ice AcOH followed by stirring over night at room temp. to give 82% N-(2-oxo-2,3-dihydro-1H-indol-6-yl)-2-[(6-oxo-1,6-dihydropyridin-3-yl)methylamino]benzamide. The latter inhibited VEGFR-2 (KDR) with IC50 = 0,05 .mu.M.

IT **643081-97-4P 643081-98-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-(pyridinylmethyl)anthranilamides as VEGFR-2 and VEGFR-3 inhibitors for treating diseases caused by persistent angiogenesis)

RN 643081-97-4 CAPLUS
CN Benzamide, N-(2,3-dihydro-2-oxo-1H-indol-6-yl)-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 643081-98-5 CAPLUS
CN Benzamide, N-[1-(cyanomethyl)-1H-indazol-6-yl]-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



L31 ANSWER 7 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN

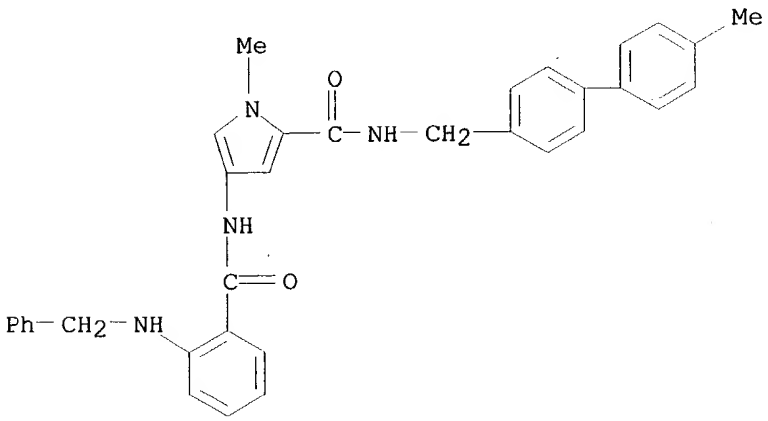
ACCESSION NUMBER:	2003:551370 CAPLUS
DOCUMENT NUMBER:	139:111679
TITLE:	Combination of microsomal triglyceride transfer protein (MTP) inhibitors or apoB secretion inhibitors with fibrates for use as drugs
INVENTOR(S):	Thomas, Leo; Mark, Michael
PATENT ASSIGNEE(S):	Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SOURCE:	PCT Int. Appl., 220 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	German

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057205	A2	20030717	WO 2003-EP57	20030107
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10200633	A1	20030724	DE 2002-10200633	20020110
US 2003162788	A1	20030828	US 2003-339088	20030109

PRIORITY APPLN. INFO.: DE 2002-10200633 A 20020110
DE 2002-10256184 A 20021202
US 2002-353397P P 20020201
US 2002-435386P P 20021220

OTHER SOURCE(S): MARPAT 139:111679
ED Entered STN: 18 Jul 2003
AB The invention discloses the use of fibrates for reducing the hepatic toxicity of MTP inhibitors, as well as pharmaceutical compns. that contain an MTP inhibitor and a fibrate. Compd. prepn. is included.
IT **486436-62-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(combination of microsomal triglyceride transfer protein inhibitors or apoB secretion inhibitors with fibrates for use as drugs)
RN 486436-62-8 CAPLUS
CN 1H-Pyrrole-2-carboxamide, 1-methyl-N-[(4'-methyl[1,1'-biphenyl]-4-yl)methyl]-4-[[2-[(phenylmethyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)



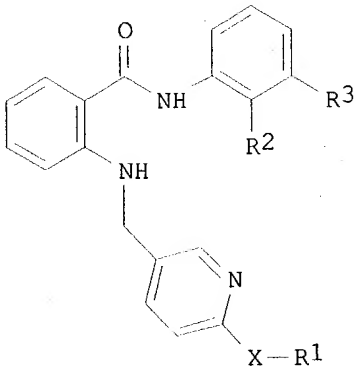
L31 ANSWER 8 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:376825 CAPLUS
DOCUMENT NUMBER: 138:385308
TITLE: Preparation of anthranilic acid amides and their use as vascular endothelial growth factor receptor tyrosine kinase inhibitors

INVENTOR(S): Bold, Guido; Furet, Pascal; Manley, Paul William
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma Gmbh
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040102	A1	20030515	WO 2002-EP12444	20021107

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR

PRIORITY APPLN. INFO.: GB 2001-26902 A 20011108
OTHER SOURCE(S): MARPAT 138:385308
ED Entered STN: 16 May 2003
GI

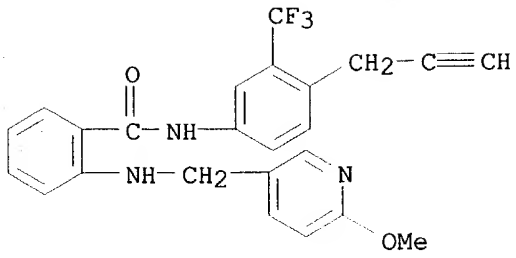


I

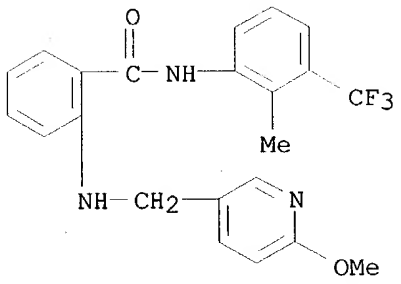
AB Anthranilic acid amide derivs. [I; R1, R2 = H, lower alkyl; R3 = lower perfluoroalkyl; X = O, S; e.g., 2-[(6-Methoxy-3-pyridinyl)methyl]amino-N-[3-(trifluoromethyl)phenyl]benzamide hydrochloride, m.p. 133-135.degree.], which are vascular endothelial growth factor receptor tyrosine kinase inhibitors for the treatment of neoplastic disease, of retinopathy or age-related macular degeneration, are prepd. and a I-contg. formulation presented (e.g., a soft capsule).

IT **524941-34-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(in the prepn. of anthranilic acid amides)

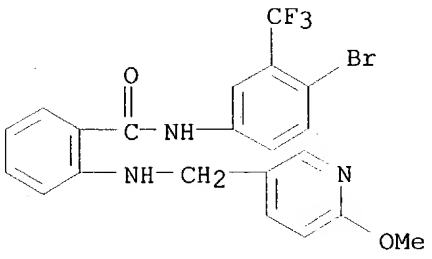
RN 524941-34-2 CAPLUS
CN Benzamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[4-(2-propynyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



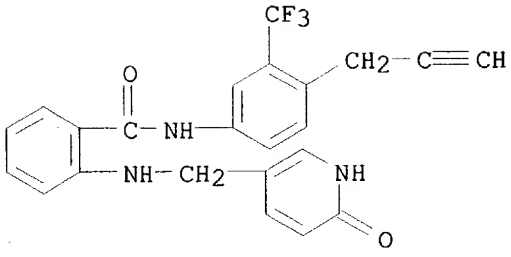
IT **524941-29-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(in the prepn. of anthranilic acid amides for use as vascular endothelial growth factor receptor tyrosine kinase inhibitors)
RN 524941-29-5 CAPLUS
CN Benzamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[2-methyl-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



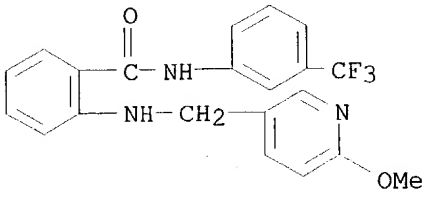
IT **524728-97-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of)
RN 524728-97-0 CAPLUS
CN Benzamide, N-[4-bromo-3-(trifluoromethyl)phenyl]-2-[[(6-methoxy-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



IT **524941-33-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 524941-33-1 CAPLUS
CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[4-(2-propynyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

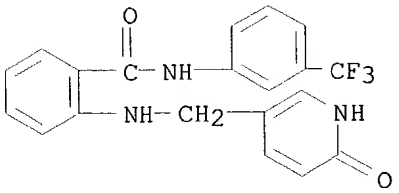


IT **524941-28-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of anthranilic acid amides and their use as vascular endothelial growth factor receptor tyrosine kinase inhibitors)
RN 524941-28-4 CAPLUS
CN Benzamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

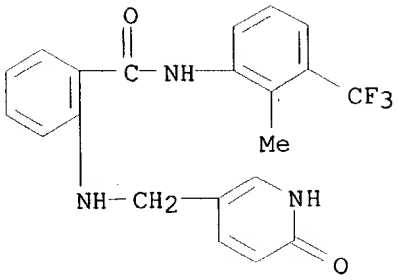


● HCl

IT **524941-35-3P 524941-36-4P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of anthranilic acid amides and their use as vascular endothelial growth factor receptor tyrosine kinase inhibitors)
RN 524941-35-3 CAPLUS
CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 524941-36-4 CAPLUS
CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[2-methyl-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



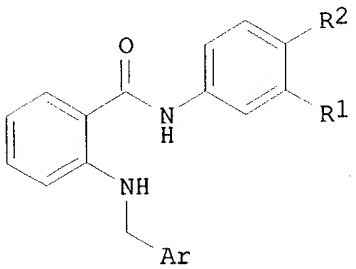
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 9 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:376824 CAPLUS
DOCUMENT NUMBER: 138:368777
TITLE: Preparation of pyridyl-substituted anthranilic acid amides for treating neoplastic disease
INVENTOR(S): Bold, Guido; Furet, Pascal; Manley, Paul William
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma Gmbh
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

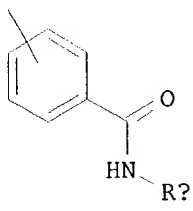
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040101	A1	20030515	WO 2002-EP12445	20021107

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR

PRIORITY APPLN. INFO.: GB 2001-26901 A 20011108
GB 2002-12917 A 20020605
OTHER SOURCE(S): MARPAT 138:368777
ED Entered STN: 16 May 2003
GI



I



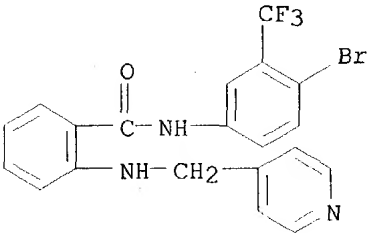
II

AB The title compds. [I; Ar = II (wherein Ra = H, alkyl; and R1 = H, perfluoroalkyl; R2 = H, halo, alkyl, alkenyl, alkynyl); or Ar = 4-pyridyl

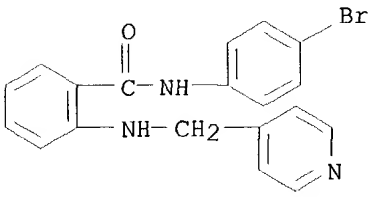
and R1 = perfluoroalkyl; R2 = Br, I, alkyl, alkenyl, alkynyl; or R1 = H, and R2 = F, Br, I, Et, alkyl, alkenyl or alkynyl] and their N-oxides and salts, useful for the treatment esp. of a neoplastic disease, such as a tumor disease, of retinopathy or age-related macular degeneration in the human or animal body, were prepd. and formulated. Thus, reductive amination of 4-pyridinecarboxaldehyde with 2-amino-N-(4-bromo-3-trifluoromethylphenyl)benzamide (prepn. given) in the presence of NaBH3CN afforded I [Ar = 4-pyridyl; R1 = CF3; R2 = Br]. The IC50-values that can be found for the compds. I are in range of 0.001 to 1 .mu.M in test for activity against VEGF-receptor tyrosine kinase.

IT **524728-98-1P 524728-99-2P 524729-02-0P**
524729-04-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of pyridyl-substituted anthranilic acid amides for treating neoplastic disease)

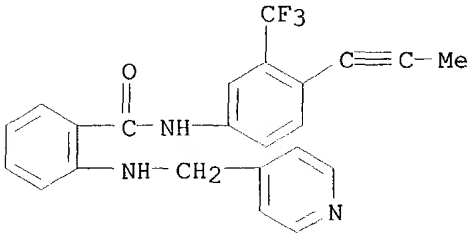
RN 524728-98-1 CAPLUS
CN Benzamide, N-[4-bromo-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 524728-99-2 CAPLUS
CN Benzamide, N-(4-bromophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

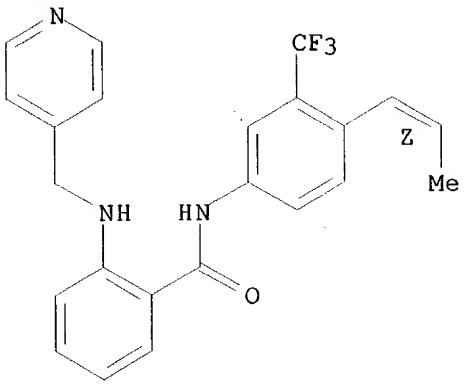


RN 524729-02-0 CAPLUS
CN Benzamide, N-[4-(1-propynyl)-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



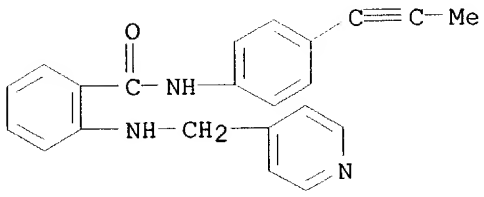
RN 524729-04-2 CAPLUS
CN Benzamide, N-[4-(1Z)-1-propenyl-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

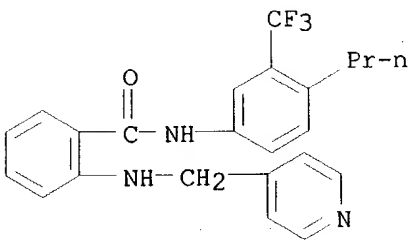


● HCl

IT **524729-03-1P 524729-05-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridyl-substituted anthranilic acid amides for treating neoplastic disease)
RN 524729-03-1 CAPLUS
CN Benzamide, N-[4-(1-propynyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



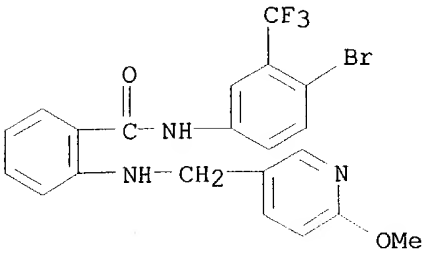
RN 524729-05-3 CAPLUS
CN Benzamide, N-[4-propyl-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



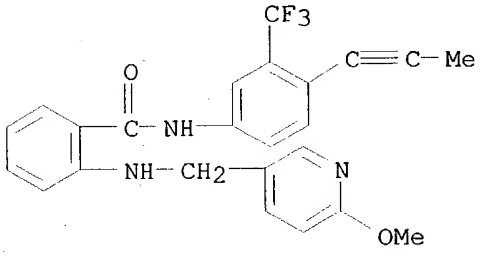
IT **524728-97-0P 524729-01-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyridyl-substituted anthranilic acid amides for treating neoplastic disease)

RN 524728-97-0 CAPLUS
CN Benzamide, N-[4-bromo-3-(trifluoromethyl)phenyl]-2-[[(6-methoxy-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 524729-01-9 CAPLUS
CN Benzamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[4-(1-propynyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



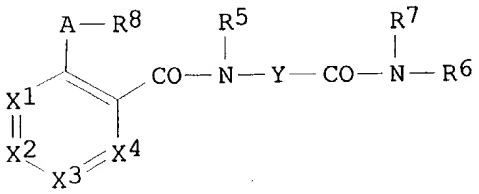
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 10 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:42101 CAPLUS
DOCUMENT NUMBER: 138:106502
TITLE: Preparation of biphenylcarboxylic acid amides as inhibitors of microsomal triglyceride transfer protein (MTP)
INVENTOR(S): Priepke, Henning; Hauel, Norbert; Dahmann, Georg; Thomas, Leo; Mark, Michael
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: PCT Int. Appl., 193 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004020	A1	20030116	WO 2002-EP7215	20020629

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,

UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
DE 10132686 A1 20030116 DE 2001-10132686 20010705
US 2003073836 A1 20030417 US 2002-187860 20020702
PRIORITY APPLN. INFO.: DE 2001-10132686 A 20010705
US 2001-304584P P 20010711
OTHER SOURCE(S): MARPAT 138:106502
ED Entered STN: 17 Jan 2003
GI



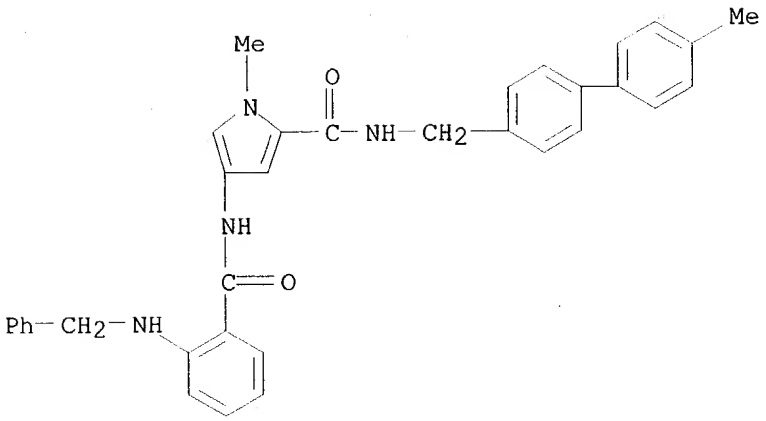
I

AB Title compds. I [X1 = CR1; X2 = CR2; X3 = CR3; X4 = CR4; with 1-2 of the groups being a N-atom; R1, R2, R3, R4 = H, halo, alkyl, etc.; A = O, S, NH, etc.; R8 = (un)substituted Ph, 1-naphthyl, 2-naphthyl, etc.; R5 = H, (un)substituted alkyl; R6 = H, alkyl; R7 = (un)substituted alkyl; Y = 1-2 carbon atom(s) bound to (un)substituted 5-membered heteroaryl] and their pharmaceutically acceptable salts were prepd. For example, coupling of acid II, e.g., prepd. from 4-hydrazinobenzonitrile in 5-steps, and 4-phenylbenzylamine afforded biphenylcarboxylic acid amide III in 86% yield. In triglyceride transfer protein inhibition studies, compds. I exhibited IC50 values .ltoreq. 100.mu.M. Compds. I are claimed useful as inhibitors of microsomal triglyceride transfer protein (MTP) for the treatment of atherosclerosis.

IT **486436-62-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of biphenylcarboxylic acid amides as microsomal triglyceride transfer protein (MTP) inhibitors)

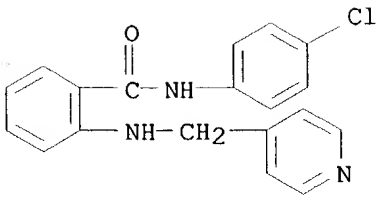
RN 486436-62-8 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 1-methyl-N-[(4'-methyl[1,1'-biphenyl]-4-yl)methyl]-4-[[2-[(phenylmethyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)

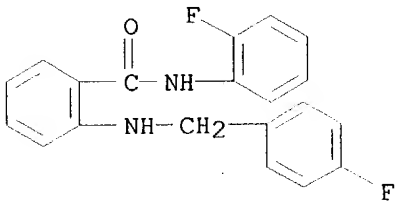


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:665525 CAPLUS
DOCUMENT NUMBER: 139:345320
TITLE: Identification of a new chemical class of potent angiogenesis inhibitors based on conformational considerations and database searching
AUTHOR(S): Furet, Pascal; Bold, Guido; Hofmann, Francesco; Manley, Paul; Meyer, Thomas; Altmann, Karl-Heinz
CORPORATE SOURCE: Oncology Research, Novartis Pharma AG, Basel, CH-4002, Switz.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(18), 2967-2971
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 26 Aug 2003
AB The vascular endothelial growth factor (VEGF) tyrosine kinase receptors KDR and Flt-1 are targets of current interest in anticancer drug research. PTK787/ZK222584 is a potent inhibitor of these enzymes in clin. evaluation as an antiangiogenic agent. The development of a hypothesis concerning the bioactive conformation of this compd. has led to the discovery of a new class of potent inhibitors of KDR and Flt-1, the anthranilamides. This could be achieved with a limited exptl. effort, which only involved the testing of one archive compd. and the synthesis and testing of one appropriate analog.
IT **269390-69-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(identification, synthesis and structure-activity relationship studies on a new chem. class of potent angiogenesis inhibitors (anthranilamides)-based on conformational considerations and database searching)
RN 269390-69-4 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

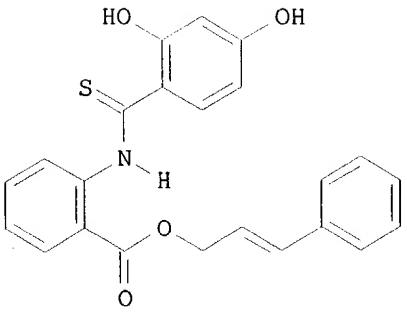


IT **618359-41-4**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(identification, synthesis and structure-activity relationship studies on a new chem. class of potent angiogenesis inhibitors (anthranilamides)-based on conformational considerations and database searching)
RN 618359-41-4 CAPLUS
CN Benzamide, N-(2-fluorophenyl)-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ES1 ANSWER 12 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:940418 CAPLUS
DOCUMENT NUMBER: 138:169931
TITLE: Synthesis and Fungistatic Activity of New Groups of 2,4-Dihydroxythiobenzoyl Derivatives against Phytopathogenic Fungi
AUTHOR(S): Legocki, Jan; Matysiak, Joanna; Niewiadomy, Andrzej; Kostecka, Ma-lgorzata
CORPORATE SOURCE: IPO, Warsaw, 03-236, Pol.
SOURCE: Journal of Agricultural and Food Chemistry (2003), 51(2), 362-368
CODEN: JAFCAU; ISSN: 0021-8561
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:169931
ED Entered STN: 12 Dec 2002
GI



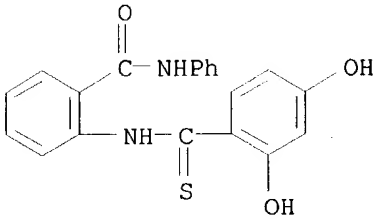
I

AB Twenty-six compds., derivs. of amides, hydrazines, hydrazides, hydrazones, and semicarbazides, with a 2,4-dihydroxythiobenzoyl moiety, were synthesized from sulfinyl-bis(2,4-dihydroxythiobenzoyl). The compns. and chem. structures of these compds. were confirmed by IR, 1H NMR, EI-MS, and elemental anal. Antifungal properties of chems. under in vitro conditions against five phytopathogenic fungi were estd. In vivo studies against Erisiphe graminis were also carried out. The compds. N-substituted with an 2,4-dihydroxythiobenzamide group proved to be the most active. N-2-(1-Cinnamylbenzene ester)-2,4-dihydroxythiobenzamide (I), under in vitro conditions, showed activity at the level of 80-100% development of most pathogens at a concn. of 20 .mu.g/mL and partially at a concn. of 200 .mu.g/mL. For compds. with -HN-NH- or -NH-N: moiety, weak or no fungistatic properties were found at the concns. studied.

IT **497156-37-3P**
RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn., structure-activity relationship and fungicidal activity of dihydroxythiobenzoyl derivs. via nucleophilic substitution reactions of sulfinylbis(dihydroxythiobenzoyl) with various nucleophiles)

RN 497156-37-3 CAPLUS

CN Benzamide, 2-[[(2,4-dihydroxyphenyl)thioxomethyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:868928 CAPLUS
DOCUMENT NUMBER: 137:352900
TITLE: Selective anthranilamide pyridine amides as inhibitors of VEGFR-2 and VEGFR-3
INVENTOR(S): Ernst, Alexander; Huth, Andreas; Krueger, Martin; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey, Martin
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002090352	A2	20021114	WO 2002-EP4924	20020503
WO 2002090352	A3	20030501		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

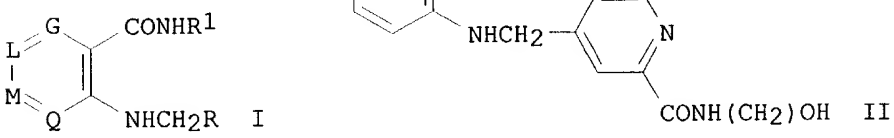
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10123574	A1	20021128	DE 2001-10123574	20010508
DE 10125294	A1	20021121	DE 2001-10125294	20010515
DE 10164590	A1	20030710	DE 2001-10164590	20011221
EP 1392680	A2	20040303	EP 2002-735333	20020503

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:
DE 2001-10123574 A 20010508
DE 2001-10125294 A 20010515
DE 2001-10164590 A 20011221
WO 2002-EP4924 W 20020503

OTHER SOURCE(S): MARPAT 137:352900
ED Entered STN: 15 Nov 2002
GI

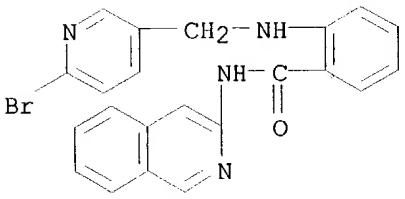


AB Title compds. I [G, L, M, Q = N, (un)substituted CH, .ltoreq.1 of them being N; R = (un)substituted N heterocycle; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl] were prepd. I are inhibitors of VEGFR-2 and VEGFR-3 and are used as medicaments for treating diseases that are caused by persistent angiogenesis, such as psoriasis, Kaposi's sarcoma, restenosis, such as e.g. stent-induced restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, such as rheumatoid arthritis, hemangioma, angiofibromatosis, in eye diseases such as diabetic retinopathy, neovascular glaucoma, in kidney diseases such as glomerulonephritis, diabetic nephropathy, malign nephrosclerosis, thrombic micro-angiopathic syndrome, transplant rejection and glomerulopathy, in fibrotic diseases such as hepatic cirrhosis, mesangial-cell proliferative diseases, arteriosclerosis, damage to the nerve tissue and inhibition of the re-occlusion of vessels after balloon

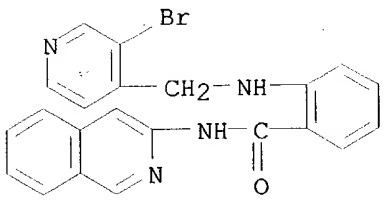
catheter treatment, in vessel prosthetics or after the use of mech. devices for keeping vessels open, e.g. stents, as immunosuppressants, to support wound healing without scars and in cases of age spots and contact dermatitis. I can also be used as inhibitors of VEGFR-3 in lymphangiogenesis for hyperplastic and dysplastic changes in the lymphatic system. Thus, 2-amino-N-isoquinolin-3-ylbenzamide was treated with 2-bromo-5-pyridinecarboxaldehyde, followed by carboxylaton and amidation to give the amide II. II had IC50 for inhibition of VEGFR-2 of 40 nM and for inhibition of cytochrome 450 isoenzyme 2C9 of 2.9 .mu.M.

IT **474799-36-5P 474799-37-6P 474799-38-7P**
474799-46-7P 474799-57-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of isoquinolinylcarbamoylphenylaminomethylpyridinecarboxamides as VEGFR-2 and VEGFR-3 inhibitors)

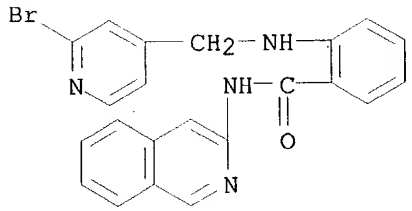
RN 474799-36-5 CAPLUS
CN Benzamide, 2-[[(6-bromo-3-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)



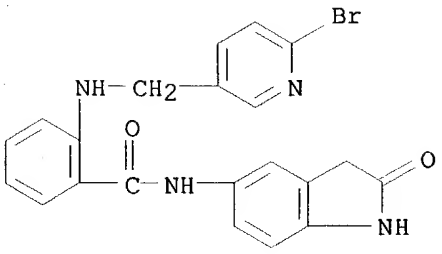
RN 474799-37-6 CAPLUS
CN Benzamide, 2-[[(3-bromo-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)



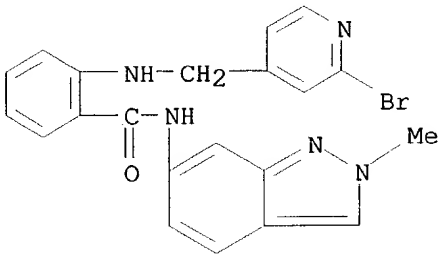
RN 474799-38-7 CAPLUS
CN Benzamide, 2-[[(2-bromo-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)



RN 474799-46-7 CAPLUS
CN Benzamide, 2-[[(6-bromo-3-pyridinyl)methyl]amino]-N-(2,3-dihydro-2-oxo-1H-indol-5-yl)- (9CI) (CA INDEX NAME)



RN 474799-57-0 CAPLUS
CN Benzamide, 2-[[(2-bromo-4-pyridinyl)methyl]amino]-N-(2-methyl-2H-indazol-6-yl)- (9CI) (CA INDEX NAME)



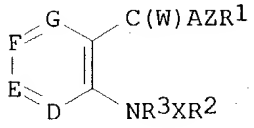
L31 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:868925 CAPLUS
DOCUMENT NUMBER: 137:352899
TITLE: Pyridylmethylanthranilamide N-oxides as inhibitors of VEGFR II kinase
INVENTOR(S): Ernst, Alexander; Huth, Andreas; Krueger, Martin; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey, Martin
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002090349	A1	20021114	WO 2002-EP4923	20020503
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10123573	A1	20021128	DE 2001-10123573	20010508
DE 10125293	A1	20021121	DE 2001-10125293	20010515
EP 1389201	A1	20040218	EP 2002-740563	20020503
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: DE 2001-10123573 A 20010508
DE 2001-10125293 A 20010515

WO 2002-EP4923 W 20020503

OTHER SOURCE(S): MARPAT 137:352899
ED Entered STN: 15 Nov 2002
GI



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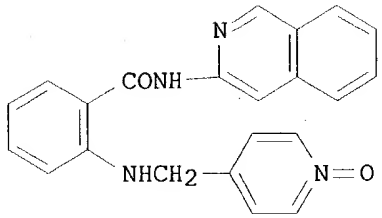
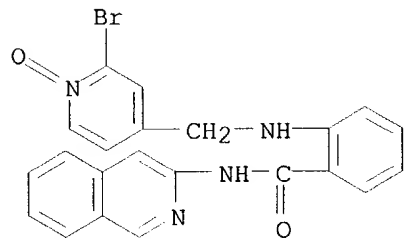


II

AB Title compds. I [D, E, F, G = N, (un)substituted CH; A = (un)substituted NH; W = O, S, H2, (un)substituted NH; X, Z = (un)substituted alkylene; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; R2 = (un)substituted hetaryl N-oxide; R3 = H, alkyl] were prepd. These compds. can be used in the treatment of psoriasis, Kaposi's sarcoma, restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, such as rheumatoid arthritis, hemangioma, angiofibroma, eye diseases, such as diabetic retinopathy, neovascular glaucoma, renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombotic microangiopathic syndromes, transplant rejections and glomerulopathy, fibrotic diseases such as cirrhosis of the liver, mesangial cell-proliferative diseases, arteriosclerosis, injuries of the nerve tissue, and for inhibiting the reocclusion of vessels after balloon catheter treatment, for use in vascular prosthetics or after inserting mech. devices for holding vessels open such as, e.g. stents, as immunosuppressants, as an aid in scar-free wound healing, and for treating age spots and contact dermatitis. They can also be used as VEGFR-3 inhibitors in lymphangiogenesis. Thus, the N-oxide II was obtained by reductive alkylation of 2-amino-N-isoquinolin-3-ylbenzamide with isonicotinaldehyde N-oxide and had IC50 for inhibition of VEGFR II of 0.03 .mu.M.

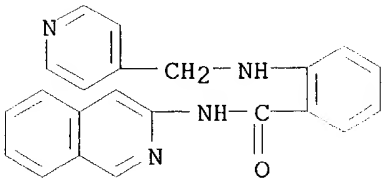
IT **474760-12-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridylmethylanthranilamide N-oxides as inhibitors of VEGFR II kinase)

RN 474760-12-8 CAPLUS
CN Benzamide, 2-[[(2-bromo-1-oxido-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)



IT **267891-44-1**

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of pyridylmethylanthranilamide N-oxides as inhibitors of VEGFR II kinase)
RN 267891-44-1 CAPLUS
CN Benzamide, N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

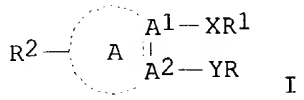
L31 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:658116 CAPLUS
DOCUMENT NUMBER: 137:201332
TITLE: Preparation of heterocyclylalkylamine derivatives as remedies for angiogenesis mediated diseases
INVENTOR(S): Chen, Guoqing; Adams, Jeffrey; Bemis, Jean; Booker, Shon; Cai, Guolin; Croghan, Michael; Dipietro, Lucian; Dominguez, Celia; Elbaum, Daniel; Germain, Julie; Geuns-meyer, Stephanie; Handley, Michael; Huang, Qi; Kim, Joseph L.; Kim, Tae-seong; Kiselyov, Alexander; Ouyang, Xiaohu; Patel, Vinod F.; Smith, Leon M.; Stec, Markian; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan, Chester Chenguang
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 502 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066470	A1	20020829	WO 2002-US743	20020111
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003125339	A1	20030703	US 2002-46681	20020110
BR 2002006435	A	20030923	BR 2002-6435	20020111
EP 1358184	A1	20031105	EP 2002-717325	20020111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300324	A	20031215	EE 2003-324	20020111
NO 2003003181	A	20030911	NO 2003-3181	20030711

PRIORITY APPLN. INFO.:		
US 2001-261339P	P	20010112
US 2001-323764P	P	20010919
US 2002-46681	A	20020110

WO 2002-US743 W 20020111

OTHER SOURCE(S): MARPAT 137:201332
ED Entered STN: 30 Aug 2002
GI



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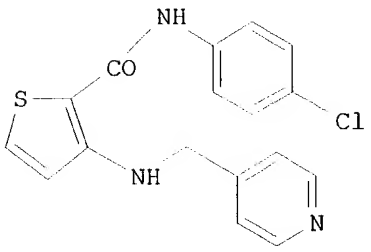
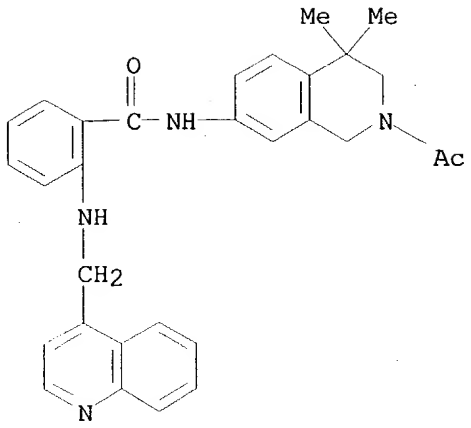


II

AB Title compds. [I; A1, A2 independently = C, N; A = 5-, or 6-membered partially satd. heterocyclyl, 5-, or 6-membered heterocyclyl, 9-, or 10-membered fused partially satd. heterocyclyl, 9-, 10-, or 11-membered fused heteroaryl, naphthyl, 4-, 5-, or 6-membered cycloalkenyl; X = C:ZNR3, C:ZN(R3)R4; Z = O, S; Y = N:CH, NR5(CR6R7), R8N(R5)(CR6R7), NR5(CR6R7)R8; R = 5-, or 6-membered (un)substituted heterocyclyl, 9-, 10-, 11-membered heterocyclyl; R1 = 6-10-membered (un)substituted aryl, 5-, or 6-membered (un)substituted heterocyclyl, 9-11 membered (un)substituted fused heterocyclyl, cycloalkyl, cycloalkenyl; R2 = H, halo, oxo, SH, COOH, CHO; R3 = H, alkyl, 5-, or 6-membered heterocyclyl; R4 = alkylenyl, alkenylenyl, alkynylenyl; R5 = H, alkyl, aralkyl, C6H5; R6, R7 independently = H, halo, CN, alkyl; R6R7 = cycloalkyl; R8 = alkylenyl; etc.] are prepd. and are effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable derivs. thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like. The subject invention also relates to processes for making such compds. as well as to intermediates useful in such processes. Thus, the title compd. II was prepd. from Me 3-amino-2-thiophenecarboxylate, 4-chloroaniline, and 4-pyridine carboxaldehyde via coupling reaction.

IT **453564-10-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclylalkylamine derivs. as remedies for angiogenesis mediated diseases)

RN 453564-10-8 CAPLUS
CN Benzamide, N-(2-acetyl-1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)-2-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



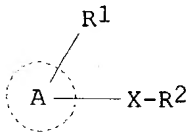
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 16 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:171866 CAPLUS
DOCUMENT NUMBER: 136:232313
TITLE: Preparation of pyrimidine derivatives as G protein-coupled receptor kinase (GRK) inhibitors
INVENTOR(S): Fukumoto, Shoji; Watanabe, Toshifumi; Ikeda, Shota
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 322 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018350	A1	20020307	WO 2001-JP7397	20010829
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001082520	A5	20020313	AU 2001-82520	20010829
JP 2002145778	A2	20020522	JP 2001-259683	20010829

PRIORITY APPLN. INFO.: JP 2000-264499 A 20000829
WO 2001-JP7397 W 20010829

OTHER SOURCE(S): MARPAT 136:232313
ED Entered STN: 08 Mar 2002
GI

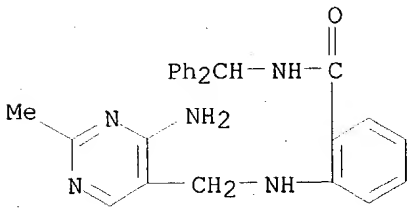


I

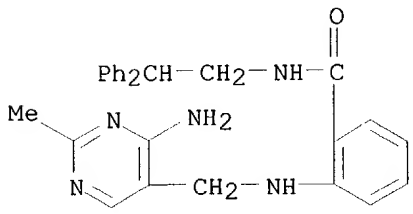
AB Disclosed are novel GRK inhibitors which contains compds. represented by the formula (I), a salt thereof, or a prodrug comprising either of these (wherein ring A represents optionally further substituted nitrogen-contg. heterocycle; R1 and R2 each represents optionally substituted amino; and X represents a spacer comprising a linear part constituted of one to four atoms, provided that R1 may be bonded to R2 or/and X to form a ring). They are useful as preventives/remedies for cardiac failure. Thus, 5.48 g K2CO3 and 7.52 g 2-aminophenyl 2-nitrophenyl sulfide were added to a suspension of 5.61 g 4-amino-5-bromomethyl-2-methylpyrimidine hydrobromide in 40 mL acetone at room temp. and stirred at 65.degree. for 64 h to give 2.36 g N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-nitrophenyl)thio]phenyl]amine (II). All 10 compds. tested including II at 30 .mu.M inhibited 30% human GRK2 expressed by human GRK2 gene in COS-7 cells. A capsule and a tablet formulation contg. II were also prepd.

IT **403515-67-3P 403515-68-4P 403515-69-5P**
403515-71-9P 403515-72-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidine derivs. as G protein-coupled receptor kinase (GRK) inhibitors for prevention and/or treatment for cardiac failure)

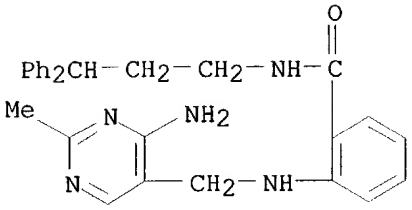
RN 403515-67-3 CAPLUS
CN Benzamide, 2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl]amino]-N-(diphenylmethyl)- (9CI) (CA INDEX NAME)



RN 403515-68-4 CAPLUS
CN Benzamide, 2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl]amino]-N-(2,2-diphenylethyl)- (9CI) (CA INDEX NAME)

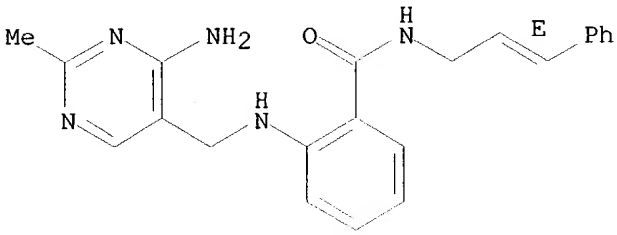


RN 403515-69-5 CAPLUS
CN Benzamide, 2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl]amino]-N-(3,3-diphenylpropyl)- (9CI) (CA INDEX NAME)

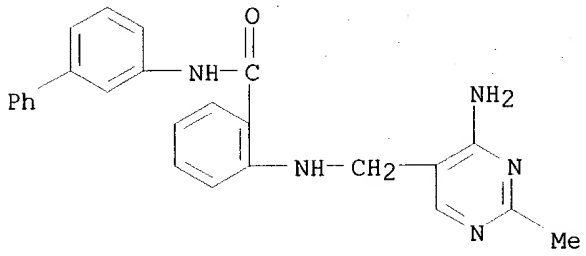


RN 403515-71-9 CAPLUS
CN Benzamide, 2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl]amino]-N-[(2E)-3-phenyl-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 403515-72-0 CAPLUS
CN Benzamide, 2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl]amino]-N-[1,1'-biphenyl]-3-yl- (9CI) (CA INDEX NAME)

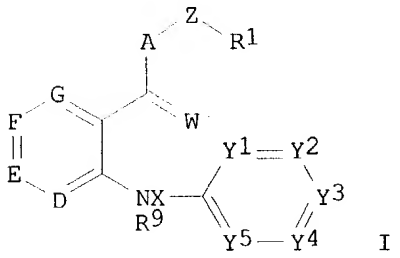


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:882097 CAPLUS
DOCUMENT NUMBER: 137:384763
TITLE: Preparation of cyanoanthranylamides as vascular endothelial growth factor (VEGF) receptor inhibitors
INVENTOR(S): Huth, Andreas; Krueger, Martin; Thierauch, Karl-Heinz; Ernst, Alexander; Menrad, Andreas; Haberey, Martin
PATENT ASSIGNEE(S): Schering Ag, Germany
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 10125295 A1 20021121 DE 2001-10125295 20010515
WO 2003000678 A1 20030103 WO 2002-EP4921 20020503
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1387838 A1 20040211 EP 2002-748691 20020503
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: DE 2001-10123587 A 20010508
DE 2001-10125295 A 20010515
WO 2002-EP4921 W 20020503
OTHER SOURCE(S): MARPAT 137:384763
ED Entered STN: 21 Nov 2002
GI



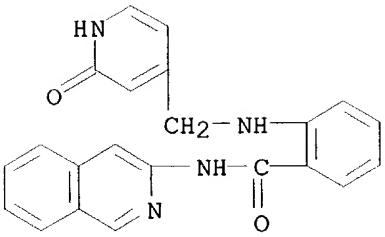
I

AB Title compds. [I; A = NR7; W = O, S, 2H, NR8; Z = bond, NR10, :N, (branched) (substituted) alkyl; X = alkyl; R1 = (substituted) (branched) alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; Y1-Y5 = N, CY6; Y6 = cyano, halo, alkyl, alkoxy, amino, OH (with the proviso that the ring contains at least one of N and is substituted with at least one of cyano group); D = N, CR3; E = N, CR4; F = N, CR5; G = N, CR6; R3-R6 = H, halo, (substituted) alkoxy, alkyl, carboxyalkyl; R7 = H, alkyl, etc.; R8-R10 = H, alkyl], were prepd. Thus, N-(isoquinolin-3-yl)-2-(4-pyridylmethyl)aminobenzoic acid amide N-oxide was treated one after another with DMF, Et3N, and Me3SiCN followed by heating the bath temp. at 110.degree. to give 14% N-(isoquinolin-3-yl)-2-[4-(2-cyanopyridyl)methyl]aminobenzoic acid amide. The latter inhibited the tyrosine kinase receptor VEGFR II (KDR) with IC50 = 1.times.10-8 mM.

IT **267891-90-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of cyanoanthranylamides as vascular endothelial growth factor (VEGF) receptor inhibitors)

RN 267891-90-7 CAPLUS

CN Benzamide, 2-[[(1,2-dihydro-2-oxo-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

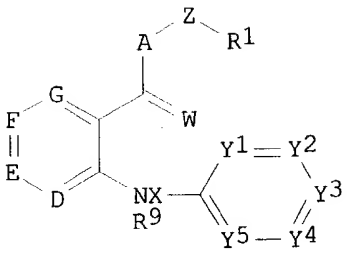


L31 ANSWER 18 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:882056 CAPLUS
DOCUMENT NUMBER: 137:384762
TITLE: Preparation of cyanoanthranylamides as vascular endothelial growth factor (VEGF) receptor inhibitors
INVENTOR(S): Huth, Andreas; Krueger, Martin; Ernst, Alexander; Thierauch, Karl-Heinz; Haberey, Martin; Menrad, Andreas
PATENT ASSIGNEE(S): Schering Ag, Germany
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10123587	A1	20021121	DE 2001-10123587	20010508
WO 2003000678	A1	20030103	WO 2002-EP4921	20020503
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1387838	A1	20040211	EP 2002-748691	20020503
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: DE 2001-10123587 A 20010508
DE 2001-10125295 A 20010515
WO 2002-EP4921 W 20020503

OTHER SOURCE(S): MARPAT 137:384762
ED Entered STN: 21 Nov 2002
GI



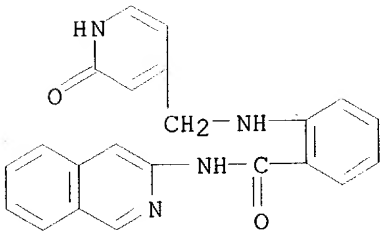
I

AB Title compds. [I; A = NR7; W = O, S, 2H, NR8; Z = bond, NR10, :N, (branched) (substituted) alkyl; X = alkyl; R1 = (substituted) (branched) alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; Y1-Y5 = N, CY6; Y6 = cyano, halo, alkyl, alkoxy, amino, OH (with the proviso that the ring contains at least one of N and is substituted with at least one of cyano group); D = N, CR3; E = N, CR4; F = N, CR5; G = N, CR6; R3-R6 = H, halo, (substituted) alkoxy, alkyl, carboxyalkyl; R7 = H, alkyl, etc.; R8-R10 = H, alkyl], were prepd. Thus, N-(isoquinolin-3-yl)-2-(4-pyridylmethyl)aminobenzoic acid amide N-oxide was treated one after another with DMF, Et3N, and Me3SiCN followed by heating the bath temp. at 110.degree. to give 14% N-(isoquinolin-3-yl)-2-[4-(2-cyanopyridyl)methyl]aminobenzoic acid amide. The latter inhibited the tyrosine kinase receptor VEGFR II (KDR) with IC50 = 1.times.10-8 mM.

IT **267891-90-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of cyanoanthranylamides as vascular endothelial growth factor (VEGF) receptor inhibitors)

RN 267891-90-7 CAPLUS

CN Benzamide, 2-[[(1,2-dihydro-2-oxo-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 19 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:880425 CAPLUS

DOCUMENT NUMBER: 138:106488

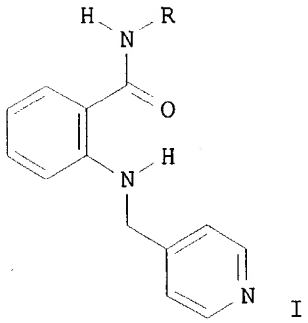
TITLE: Anthranilic Acid Amides: A Novel Class of Antiangiogenic VEGF Receptor Kinase Inhibitors

AUTHOR(S): Manley, Paul W.; Furet, Pascal; Bold, Guido; Brueggen, Josef; Mestan, Juergen; Meyer, Thomas; Schnell, Christian R.; Wood, Jeanette; Haberey, Martin; Huth, Andreas; Krueger, Martin; Menrad, Andreas; Ottow, Eckhard; Seidelmann, Dieter; Siemeister, Gerhard; Thierauch, Karl-Heinz

CORPORATE SOURCE: Oncology Research, Novartis Pharma AG, Basel, CH-4057, Switz.

SOURCE: Journal of Medicinal Chemistry (2002), 45(26),

5687-5693
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:106488
ED Entered STN: 21 Nov 2002
GI

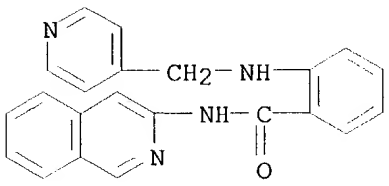


I

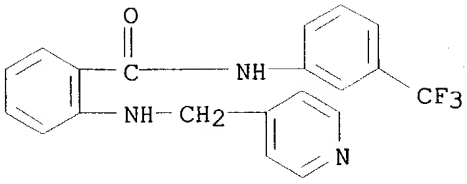
AB Two readily synthesized anthranilamide, VEGF receptor tyrosine kinase inhibitors have been prepd. and evaluated as angiogenesis inhibitors. 2-[(4-Pyridyl)methyl]amino-N-[3-(trifluoromethyl)phenyl]benzamide [I; R = 3-CF3C6H4 (II)] and N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]benzamid e [I; R = 3-isoquinolinyl (III)] potently and selectively inhibit recombinant VEGFR-2 and VEGFR-3 kinases. As a consequence of their physicochem. properties, these anthranilamides readily penetrate cells and are absorbed following once daily oral administration to mice. Both II and III potently inhibit VEGF-induced angiogenesis in an implant model, with ED50 values of 7 mg/kg. In a mouse orthotopic model of melanoma, II and III potently inhibited both the growth of the primary tumor as well as the formation of spontaneous peripheral metastases. The anthranilamides II and III represent a new structural class of VEGFR kinase inhibitors, which possess potent antiangiogenic and antitumor properties.

IT **267891-44-1P 269390-77-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiangiogenic and antitumor activity of VEGF receptor kinase inhibitor anthranilic acid amides)

RN 267891-44-1 CAPLUS
CN Benzamide, N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

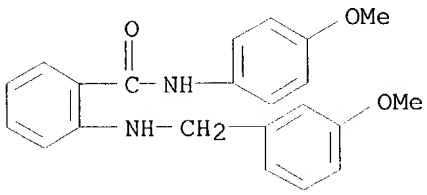


RN 269390-77-4 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 20 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:603273 CAPLUS
DOCUMENT NUMBER: 138:122629
TITLE: Synthesis of 1,4-benzodiazepine-2,5-dione derivatives
AUTHOR(S): Ho, Tong-Ing; Chen, Wen-Shiong; Hsu, Chi-Wei; Tsai, Yeun-Min; Fang, Jim-Min
CORPORATE SOURCE: Dep. of Chem., National Taiwan Univ., Taipei, Taiwan
SOURCE: Heterocycles (2002), 57(8), 1501-1506
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:122629
ED Entered STN: 13 Aug 2002
AB A synthesis of a series of 1,4-benzodiazepine-2,5-dione derivs. with a carboxy group at the 3-position is realized in good yields by using Me malonylchloride as a key reagent and intramol. nucleophilic substitution as ring closure reaction. The synthesis of 4-(4-Methoxyphenyl)-1-[(3-methoxyphenyl)methyl]-2,5-dioxo-1H-1,4-benzodiazepine-3-carboxylic acid Me ester was described.
IT **489446-50-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 2,5-dioxo-1H-1,4-benzodiazepine-3-carboxylate derivs.)
RN 489446-50-6 CAPLUS
CN Benzamide, N-(4-methoxyphenyl)-2-[[(3-methoxyphenyl)methyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

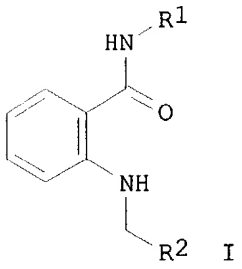
L31 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:833307 CAPLUS
DOCUMENT NUMBER: 136:53680
TITLE: Preparation of anthranilic acid arylamides as inhibitors of tyrosine kinase KDR and FLT.
INVENTOR(S): Krueger, Martin; Huth, Andreas; Petrov, Orlin; Seidelmann, Dieter; Thierauch, Karl-Heinz; Haberey, Martin; Menrad, Andreas; Ernst, Alexander
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085719	A1	20011115	WO 2001-EP5214	20010508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10023486	C1	20020314	DE 2000-10023486	20000509
EP 1280799	A1	20030205	EP 2001-940416	20010508
EP 1280799	B1	20040121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001010621	A	20030325	BR 2001-10621	20010508
JP 2003532725	T2	20031105	JP 2001-582320	20010508
AT 258174	E	20040215	AT 2001-1940416	20010508
NO 2002005358	A	20021108	NO 2002-5358	20021108
BG 107261	A	20030630	BG 2002-107261	20021108

PRIORITY APPLN. INFO.: DE 2000-10023486 A 20000509
WO 2001-EP5214 W 20010508

OTHER SOURCE(S): MARPAT 136:53680
ED Entered STN: 16 Nov 2001
GI



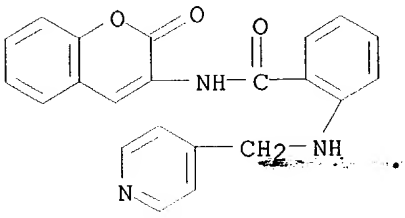
I

AB Title compds. [I; R1 = (substituted) oxobenzopyranyl, quinolinyl, Ph, isoquinolinyl, benzimidazolyl, etc.; R2 = pyridyl, 2-oxopyridyl, 2-hydroxypyridyl; R3 = H, F], were prepd. Thus, N-(2-oxo-2H-1-benzopyran-3-yl)-2-aminobenzamide (prepn. given) was stirred with 4-pyridinecarboxaldehyde in AcOH/MeOH; NaBH3CN was added to give N-(2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridyl)methyl]aminobenzamide. The latter inhibited KDR with IC50 = 0.003 .mu.M.

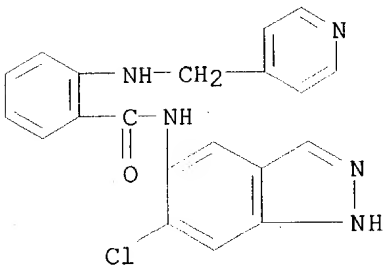
IT **381694-53-7P 381694-55-9P 381694-58-2P**
381694-61-7P 381694-64-0P 381694-67-3P
381694-70-8P 381694-73-1P 381694-76-4P
381694-79-7P 381694-82-2P 381694-85-5P
381694-88-8P 381694-91-3P 381694-94-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of anthranilic acid arylamides as inhibitors of tyrosine kinase KDR and FLT)

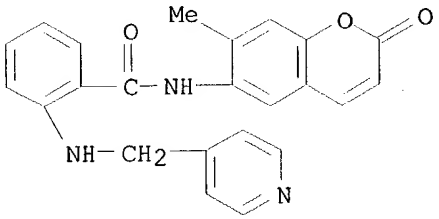
RN 381694-53-7 CAPLUS
CN Benzamide, N-(2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



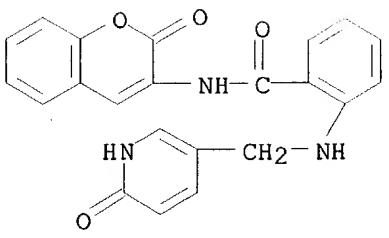
RN 381694-55-9 CAPLUS
CN Benzamide, N-(6-chloro-1H-indazol-5-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



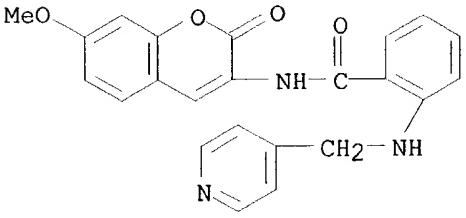
RN 381694-58-2 CAPLUS
CN Benzamide, N-(7-methyl-2-oxo-2H-1-benzopyran-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



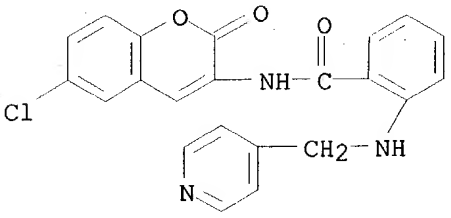
RN 381694-61-7 CAPLUS
CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-(2-oxo-2H-1-benzopyran-3-yl)- (9CI) (CA INDEX NAME)



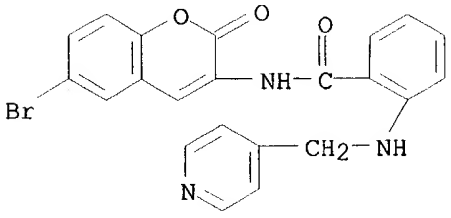
RN 381694-64-0 CAPLUS
CN Benzamide, N-(7-methoxy-2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



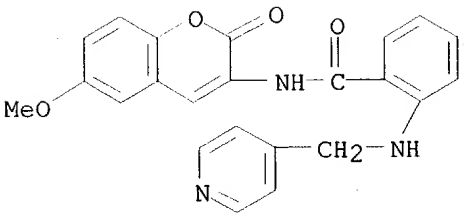
RN 381694-67-3 CAPLUS
CN Benzamide, N-(6-chloro-2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



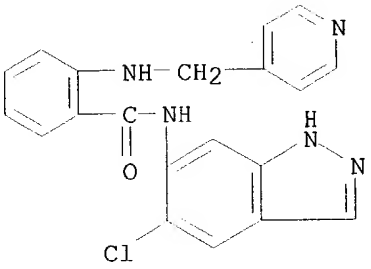
RN 381694-70-8 CAPLUS
CN Benzamide, N-(6-bromo-2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



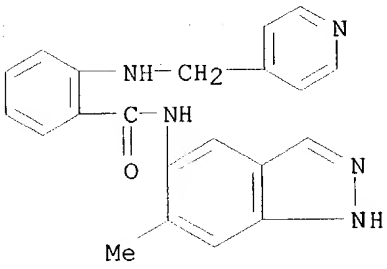
RN 381694-73-1 CAPLUS
CN Benzamide, N-(6-methoxy-2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



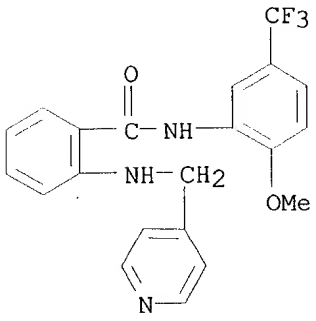
RN 381694-76-4 CAPLUS
CN Benzamide, N-(5-chloro-1H-indazol-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



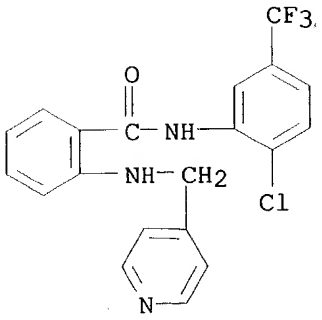
RN 381694-79-7 CAPLUS
CN Benzamide, N-(6-methyl-1H-indazol-5-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



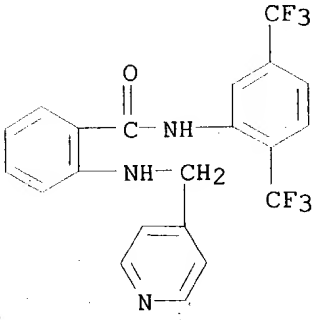
RN 381694-82-2 CAPLUS
CN Benzamide, N-[2-methoxy-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 381694-85-5 CAPLUS
CN Benzamide, N-[2-chloro-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 381694-88-8 CAPLUS
CN Benzamide, N-[2,5-bis(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 381694-91-3 CAPLUS
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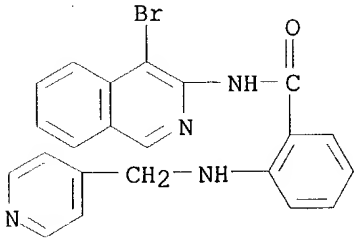
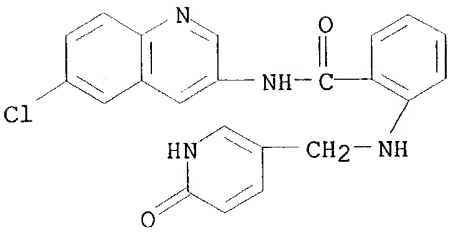
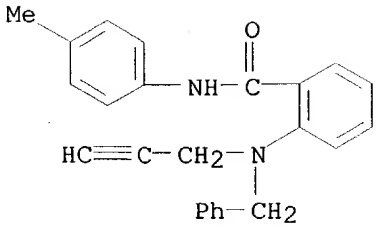


RN 381694-94-6 CAPLUS
CN Benzamide, N-(6-chloro-3-quinolinyl)-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

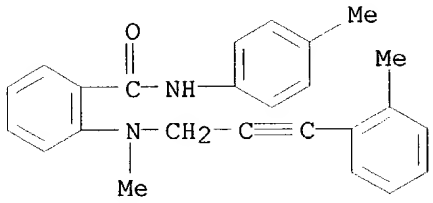


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

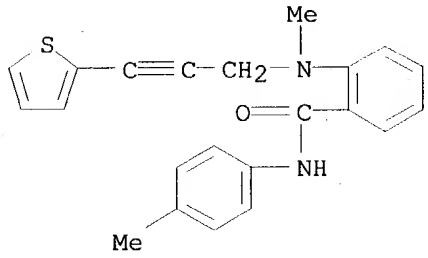
L31 ANSWER 22 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:538833 CAPLUS
DOCUMENT NUMBER: 135:344437
TITLE: Copper-catalyzed heteroannulation with alkynes: a general and highly regio- and stereoselective method for the synthesis of (E)-2-(2-arylvinyl) quinazolinones
AUTHOR(S): Kundu, N. G.; Chaudhuri, G.
CORPORATE SOURCE: Department of Organic Chemistry, Indian Association for Cultivation of Science, Jadavpur, Calcutta, 700 032, India
SOURCE: Tetrahedron (2001), 57(31), 6833-6842
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 26 Jul 2001
AB A highly regio- and stereoselective procedure for the synthesis of 2-substituted-1,2,3,4-tetrahydroquinazolinones through a two-step procedure, e.g. (i) palladium-copper catalyzed C-arylation of terminal alkynes and (ii) copper-catalyzed cyclization of disubstituted alkynes, is described. 2-[Alkyl(2-propynyl)amino]-N-(4-methylphenyl)benzamides reacted with aryl iodides in the presence of (Ph3P)2PdCl2 (2.5 mol%), CuI (5 mol%), Et3N (5 equiv.) in CH3CN at rt for 16 h to yield disubstituted alkynes which could then be cyclized with CuI (20 mol%), K2CO3 (2.5 equiv.), Bu4NBr (1 equiv.) in CH3CN at 80.degree.C for 16-24 h to yield 1-methyl(benzyl)-(E)-2-(2-arylvinyl)-3-p-tolyl-1,2,3,4-tetrahydro-4-quinazolinones in good yields. Said substituted [[(aminocarbonyl)phenyl]amino]alkynes included N-(4-methylphenyl)-2-[methyl(3-aryl-2-propynyl)amino]benzamide and N-(4-methylphenyl)-2-[(phenylmethyl)(3-aryl-2-propynyl)amino]benzamide derivs. Only in a few cases, benzodiazepinones were obtained in poor yield. The synthesis of novel uracil derivs. was also described.
IT **350603-00-8P 350603-01-9P 350603-02-0P 350603-03-1P 371258-55-8P 371258-56-9P 371258-57-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(regioselective, stereoselective prepn. of (E)-2-(2-arylvinyl)quinazolinones via copper-catalyzed heteroannulation of [[(aryl)propynyl]amino]benzamide derivs.)
RN 350603-00-8 CAPLUS
CN Benzamide, N-(4-methylphenyl)-2-[(phenylmethyl)-2-propynylamino]- (9CI) (CA INDEX NAME)



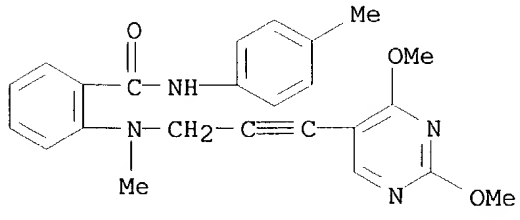
RN 350603-01-9 CAPLUS
CN Benzamide, 2-[methyl[3-(2-methylphenyl)-2-propynyl]amino]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



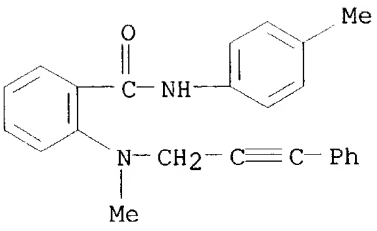
RN 350603-02-0 CAPLUS
CN Benzamide, N-(4-methylphenyl)-2-[methyl[3-(2-thienyl)-2-propynyl]amino]- (9CI) (CA INDEX NAME)



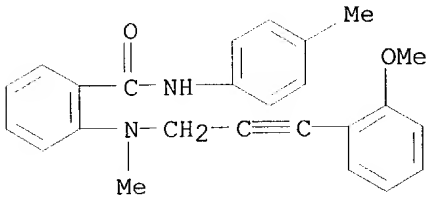
RN 350603-03-1 CAPLUS
CN Benzamide, 2-[[3-(2,4-dimethoxy-5-pyrimidinyl)-2-propynyl]methylamino]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



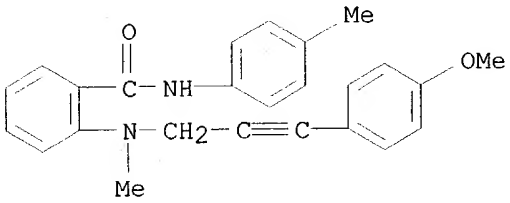
RN 371258-55-8 CAPLUS
CN Benzamide, N-(4-methylphenyl)-2-[methyl(3-phenyl-2-propynyl)amino]- (9CI) (CA INDEX NAME)



RN 371258-56-9 CAPLUS
CN Benzamide, 2-[[3-(2-methoxyphenyl)-2-propynyl]methylamino]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 371258-57-0 CAPLUS
CN Benzamide, 2-[[3-(4-methoxyphenyl)-2-propynyl]methylamino]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



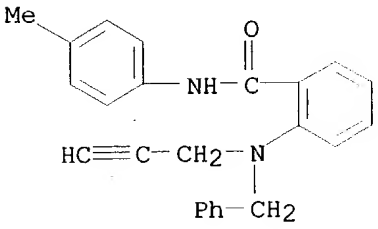
REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 23 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:246264 CAPLUS
DOCUMENT NUMBER: 135:107296
TITLE: Heteroannulation through copper catalysis: a novel and highly regio- and stereoselective cyclisation of alkynes leading to (E)-2-(2-arylvinyl)quinazolinones
AUTHOR(S): Kundu, N. G.; Chaudhuri, G.
CORPORATE SOURCE: Department of Organic Chemistry, Indian Association for the Cultivation of Science, Calcutta, Jadavpur, 700 032, India
SOURCE: Tetrahedron Letters (2001), 42(15), 2883-2886
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:107296
ED Entered STN: 06 Apr 2001
AB 2-(Alkylprop-2-ynylamino)benzamides reacted with aryl iodides under Pd-Cu catalysis to yield disubstituted alkynes, which underwent a novel cyclization in the presence of CuI, K2CO3, and Bu4NBr in MeCN to yield (E)-1-alkyl-3-aryl-2-(2-arylvinyl)-4-quinazolinones in excellent yields

instead of the expected benzodiazepinones.

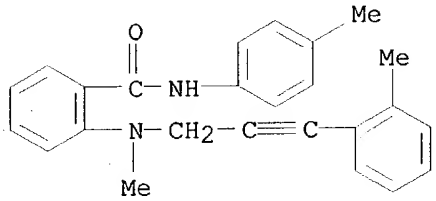
IT **350603-00-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of (arylvinyl)quinazolinones by regio- and stereoselective cyclization of (alkynylamino)benzamides)

RN 350603-00-8 CAPLUS
CN Benzamide, N-(4-methylphenyl)-2-[(phenylmethyl)-2-propynylamino]- (9CI) (CA INDEX NAME)

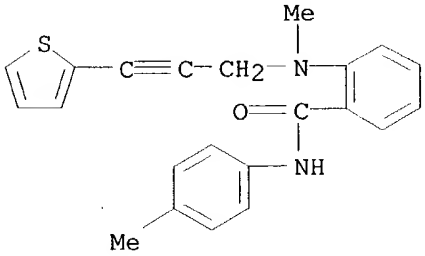


IT **350603-01-9P 350603-02-0P 350603-03-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (arylvinyl)quinazolinones by regio- and stereoselective cyclization of (alkynylamino)benzamides)

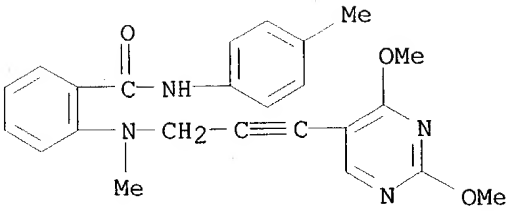
RN 350603-01-9 CAPLUS
CN Benzamide, 2-[methyl[3-(2-methylphenyl)-2-propynyl]amino]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 350603-02-0 CAPLUS
CN Benzamide, N-(4-methylphenyl)-2-[methyl[3-(2-thienyl)-2-propynyl]amino]- (9CI) (CA INDEX NAME)



RN 350603-03-1 CAPLUS
CN Benzamide, 2-[[3-(2,4-dimethoxy-5-pyrimidinyl)-2-propynyl]methylamino]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)

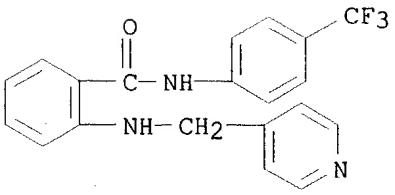


REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

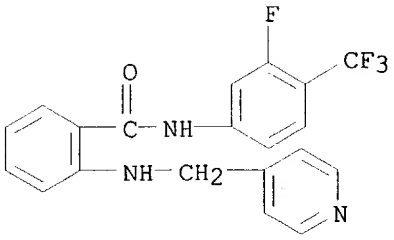
L31 ANSWER 24 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:335388 CAPLUS
DOCUMENT NUMBER: 132:347491
TITLE: Preparation of N-aryl(thio)anthranilic acid amides as VEGF receptor tyrosine kinase inhibitors
INVENTOR(S): Altmann, Karl-Heinz; Bold, Guido; Furet, Pascal; Manley, Paul William; Wood, Jeanette Marjorie; Ferrari, Stefano; Hofmann, Francesco; Mestan, Jurgen; Huth, Andreas; Kruger, Martin; Seidelmann, Dieter; Menrad, Andreas; Haberey, Martin; Thierauch, Karl-Heinz
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.; Schering Aktiengesellschaft
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027820	A1	20000518	WO 1999-EP8545	19991108
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2346898	AA	20000518	CA 1999-2346898	19991108
BR 9915210	A	20010724	BR 1999-15210	19991108
EP 1129075	A1	20010905	EP 1999-971802	19991108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002529453	T2	20020910	JP 2000-581000	19991108
AU 758230	B2	20030320	AU 2000-13811	19991108
NZ 511339	A	20030725	NZ 1999-511339	19991108
NO 2001001894	A	20010704	NO 2001-1894	20010417
ZA 2001003290	A	20030123	ZA 2001-3290	20010423
US 2002019414	A1	20020214	US 2001-850434	20010507
US 6448277	B2	20020910		
ZA 2001004673	A	20020909	ZA 2001-4673	20010607
US 2003064992	A1	20030403	US 2002-180289	20020626

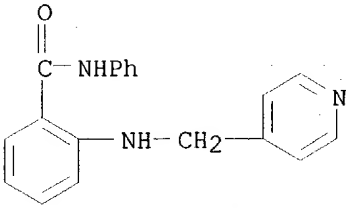
PRIORITY APPLN. INFO.: GB 1998-24579 A 19981110
WO 1999-EP8545 W 19991108



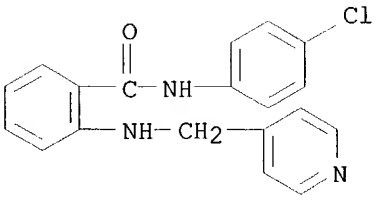
RN 269390-67-2 CAPLUS
CN Benzamide, N-[3-fluoro-4-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 269390-68-3 CAPLUS
CN Benzamide, N-phenyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



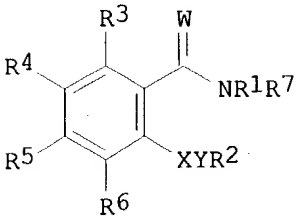
RN 269390-69-4 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 269390-70-7 CAPLUS
CN Benzamide, N-(3-fluoro-4-methylphenyl)-2-[(4-pyridinylmethyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)

US 2001-850434 A3 20010507

OTHER SOURCE(S): MARPAT 132:347491
ED Entered STN: 19 May 2000
GI



I

AB Use of title compds. I; W = O, S; X = NR8; Y = CR9R10(CH2)n, SO2; R9, R10 = H, alkyl; n = 0-3; R1 = aryl; R2 = mono- or bicyclic heteroaryl with the exception that R2 cannot = 2-phthalimidyl, and when Y = SO2 cannot represent 2,1,3-benzothiadiazol-4-yl; R3-R6 = H, substituent; R7, R8 = H, alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof, for the prepn. of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity is claimed. Thus, a mixt. of 4-pyridinecarboxaldehyde and 2-amino-N-(4-trifluoromethylphenyl)benzamide (prepn. given) in MeOH contg. HOAc was treated with NaBH3CN followed by 16 h stirring to give 2-[(4-pyridyl)methyl]amino-N-[4-(trifluoromethyl)phenyl]benzamide. Tested I inhibited Flt-1 VEGF receptor tyrosine kinase with IC50 = 0.18-0.56 .mu.M.

IT **269390-66-1P 269390-67-2P 269390-68-3P**
269390-69-4P 269390-70-7P 269390-71-8P
269390-72-9P 269390-73-0P 269390-74-1P
269390-75-2P 269390-76-3P 269390-77-4P
269390-78-5P 269390-79-6P 269390-80-9P
269390-81-0P 269390-82-1P 269390-83-2P
269390-84-3P 269390-85-4P 269390-86-5P
269390-87-6P 269390-88-7P 269390-89-8P
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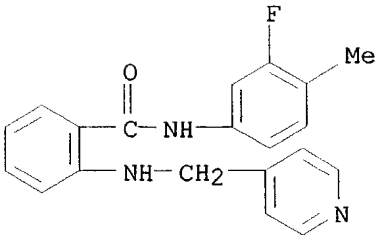
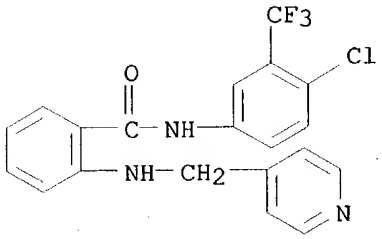
269391-56-2P 269391-57-3P 269391-58-4P
269391-59-5P 269391-60-8P 269391-61-9P
269391-62-0P 269391-63-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-aryl(thio)anthranilic acid amides as VEGF receptor tyrosine kinase inhibitors)

RN 269390-66-1 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

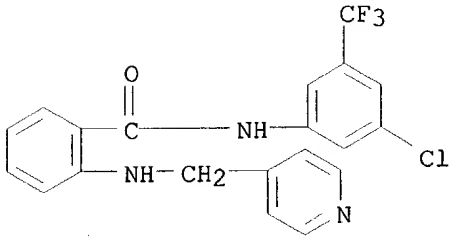


●2 HCl

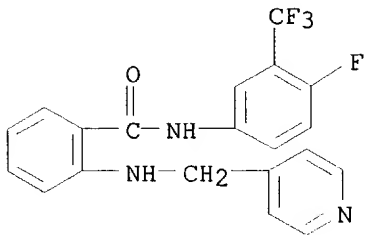
RN 269390-71-8 CAPLUS
CN Benzamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



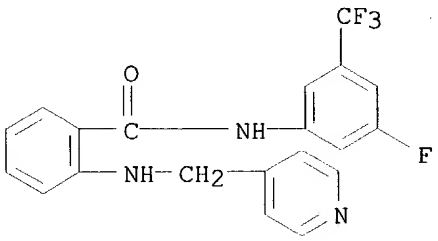
RN 269390-72-9 CAPLUS
CN Benzamide, N-[3-chloro-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



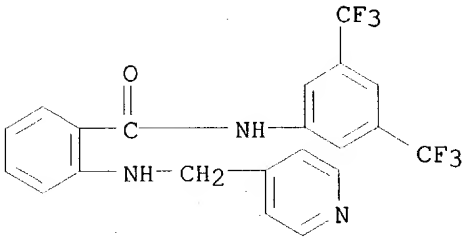
RN 269390-73-0 CAPLUS
CN Benzamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



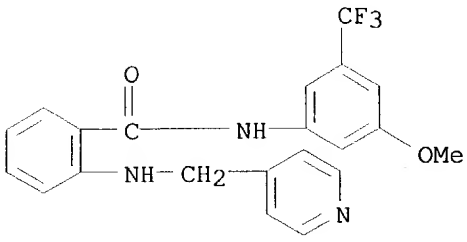
RN 269390-74-1 CAPLUS
CN Benzamide, N-[3-fluoro-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



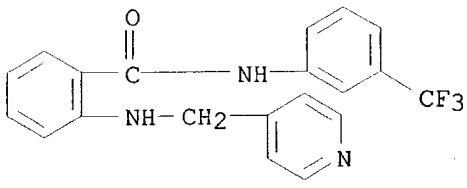
RN 269390-75-2 CAPLUS
CN Benzamide, N-[3,5-bis(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



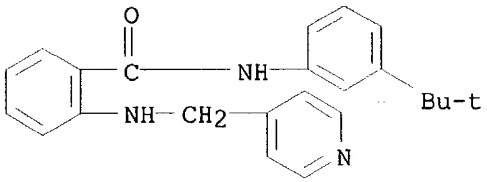
RN 269390-76-3 CAPLUS
CN Benzamide, N-[3-methoxy-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



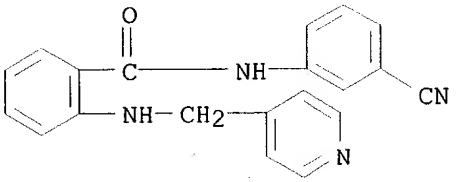
RN 269390-77-4 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



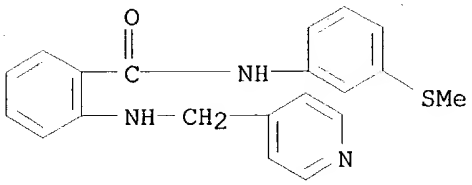
RN 269390-78-5 CAPLUS
CN Benzamide, N-[3-(1,1-dimethylethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



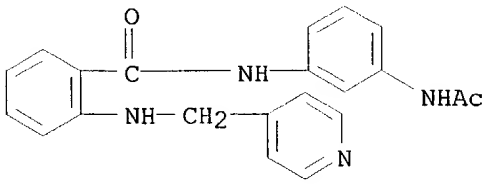
RN 269390-79-6 CAPLUS
CN Benzamide, N-(3-cyanophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



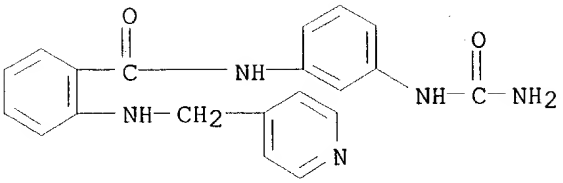
RN 269390-80-9 CAPLUS
CN Benzamide, N-[3-(methylthio)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



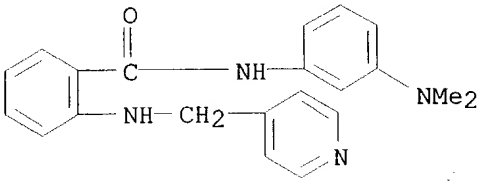
RN 269390-81-0 CAPLUS
CN Benzamide, N-[3-(acetylamino)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



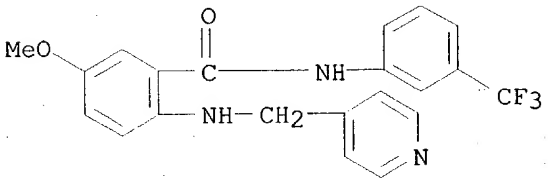
RN 269390-82-1 CAPLUS
CN Benzamide, N-[3-[(aminocarbonyl)amino]phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



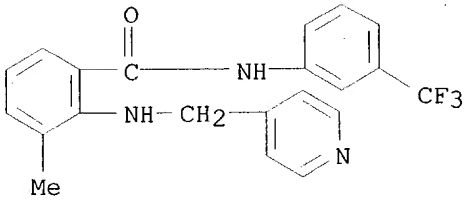
RN 269390-83-2 CAPLUS
CN Benzamide, N-[3-(dimethylamino)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 269390-84-3 CAPLUS
CN Benzamide, 5-methoxy-2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

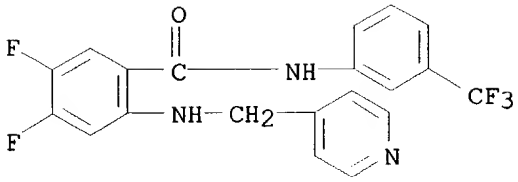


RN 269390-85-4 CAPLUS
CN Benzamide, 3-methyl-2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

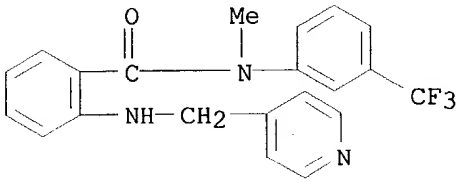


●2 HCl

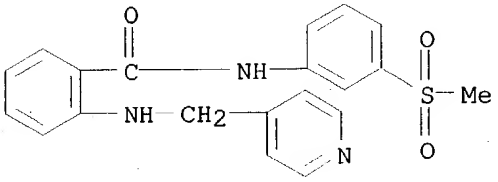
RN 269390-86-5 CAPLUS
CN Benzamide, 4,5-difluoro-2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



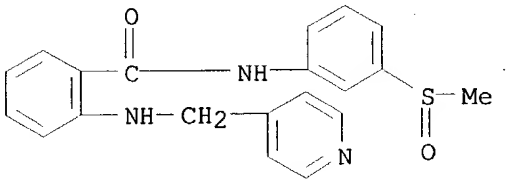
RN 269390-87-6 CAPLUS
CN Benzamide, N-methyl-2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



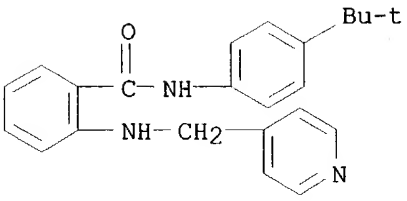
RN 269390-88-7 CAPLUS
CN Benzamide, N-[3-(methylsulfonyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



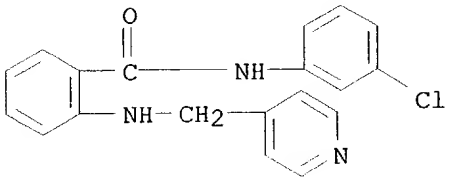
RN 269390-89-8 CAPLUS
CN Benzamide, N-[3-(methylsulfinyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



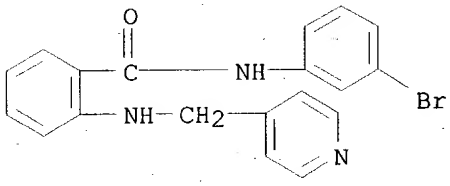
RN 269390-90-1 CAPLUS
CN Benzamide, N-[4-(1,1-dimethylethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



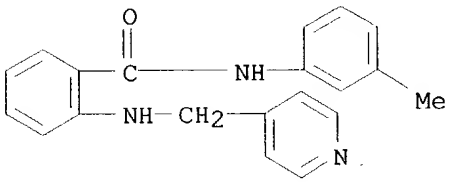
RN 269390-91-2 CAPLUS
CN Benzamide, N-(3-chlorophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



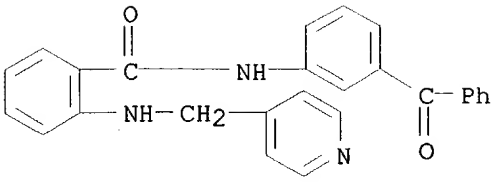
RN 269390-92-3 CAPLUS
CN Benzamide, N-(3-bromophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



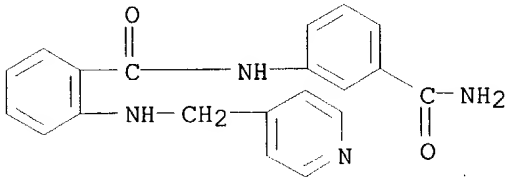
RN 269390-93-4 CAPLUS
CN Benzamide, N-(3-methylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



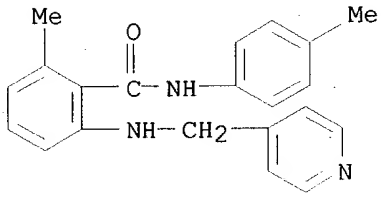
RN 269390-94-5 CAPLUS
CN Benzamide, N-(3-benzoylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



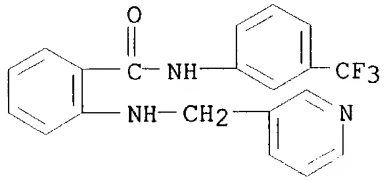
RN 269390-95-6 CAPLUS
CN Benzamide, N-[3-(aminocarbonyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



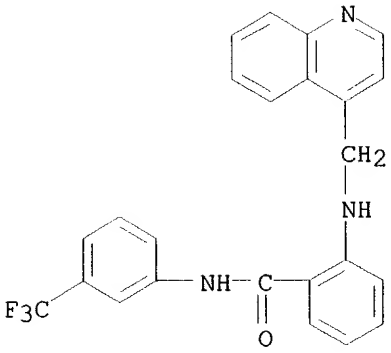
RN 269390-96-7 CAPLUS
CN Benzamide, 2-methyl-N-(4-methylphenyl)-6-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



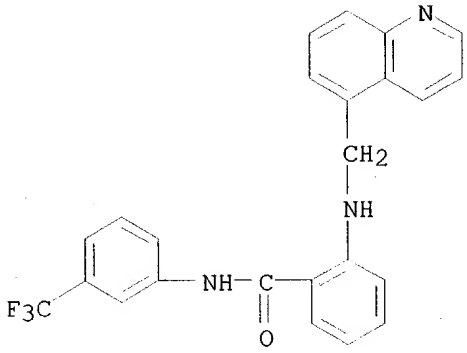
RN 269390-97-8 CAPLUS
CN Benzamide, 2-[(3-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



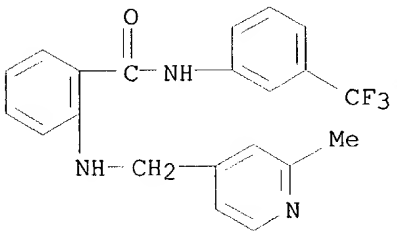
RN 269390-98-9 CAPLUS
CN Benzamide, 2-[(4-quinolinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



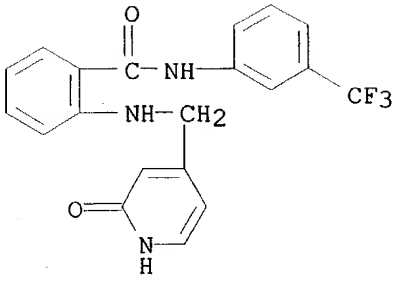
RN 269390-99-0 CAPLUS
CN Benzamide, 2-[(5-quinolinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



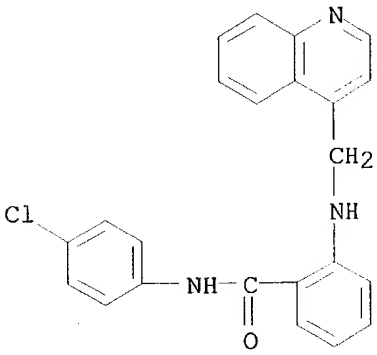
RN 269391-00-6 CAPLUS
CN Benzamide, 2-[[(2-methyl-4-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



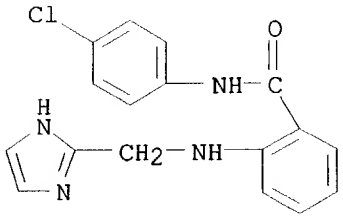
RN 269391-01-7 CAPLUS
CN Benzamide, 2-[[(1,2-dihydro-2-oxo-4-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



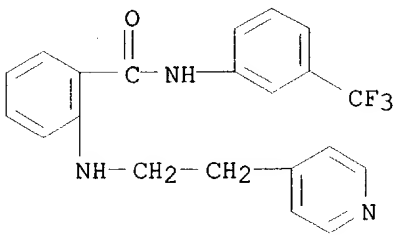
RN 269391-02-8 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-2-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



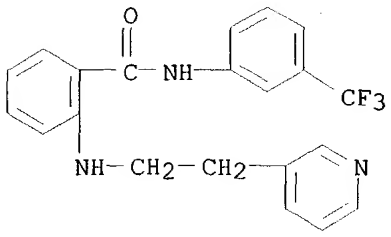
RN 269391-03-9 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-2-[(1H-imidazol-2-ylmethyl)amino]- (9CI) (CA INDEX NAME)



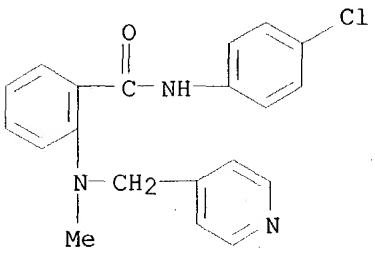
RN 269391-04-0 CAPLUS
CN Benzamide, 2-[[2-(4-pyridinyl)ethyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



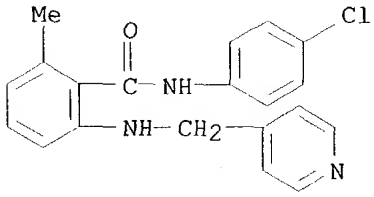
RN 269391-05-1 CAPLUS
CN Benzamide, 2-[[2-(3-pyridinyl)ethyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



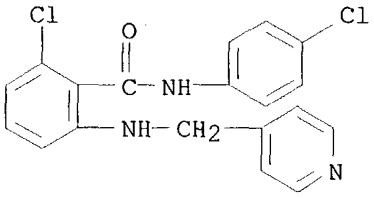
RN 269391-07-3 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-2-[methyl(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 269391-08-4 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-2-methyl-6-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

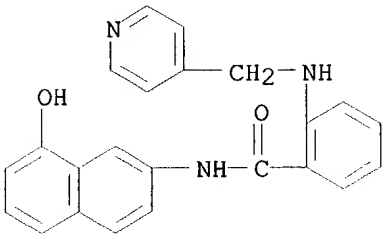


RN 269391-09-5 CAPLUS
CN Benzamide, 2-chloro-N-(4-chlorophenyl)-6-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

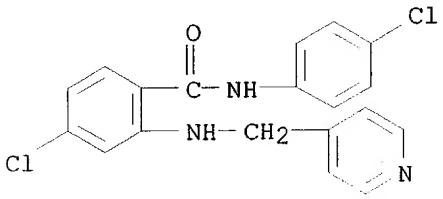


RN 269391-10-8 CAPLUS
CN Benzamide, N-(8-hydroxy-2-naphthalenyl)-2-[(4-pyridinylmethyl)amino]-

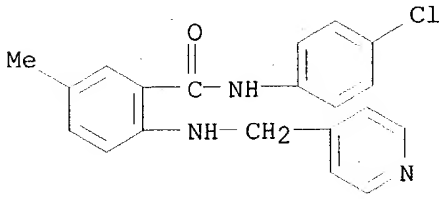
(9CI) (CA INDEX NAME)



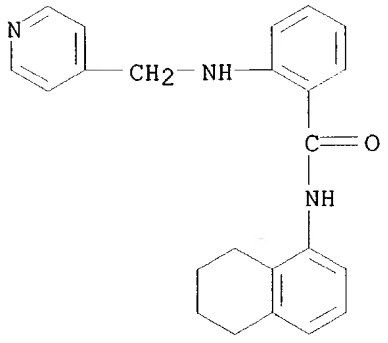
RN 269391-11-9 CAPLUS
CN Benzamide, 4-chloro-N-(4-chlorophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 269391-12-0 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-5-methyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

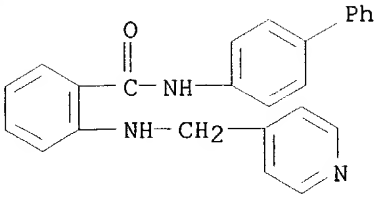


RN 269391-13-1 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

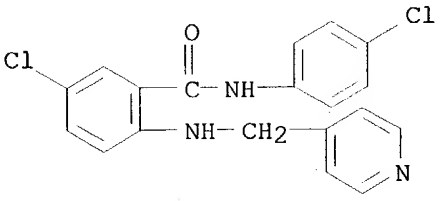


RN 269391-14-2 CAPLUS
CN Benzamide, N-[1,1'-biphenyl]-4-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA

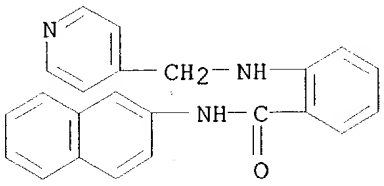
INDEX NAME)



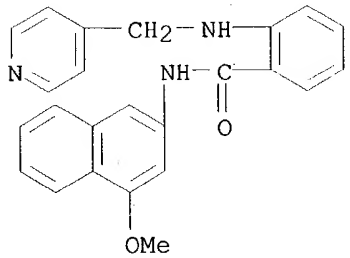
RN 269391-15-3 CAPLUS
CN Benzamide, 5-chloro-N-(4-chlorophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



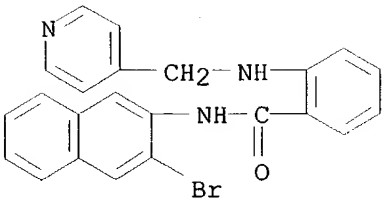
RN 269391-16-4 CAPLUS
CN Benzamide, N-2-naphthalenyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



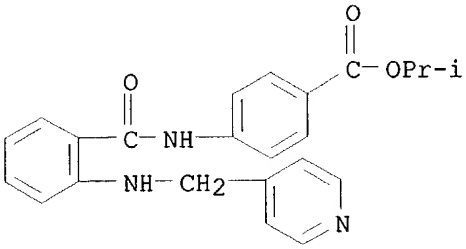
RN 269391-17-5 CAPLUS
CN Benzamide, N-(4-methoxy-2-naphthalenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



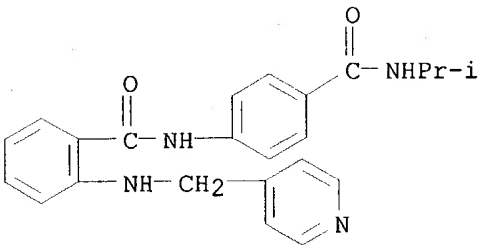
RN 269391-18-6 CAPLUS
CN Benzamide, N-(3-bromo-2-naphthalenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



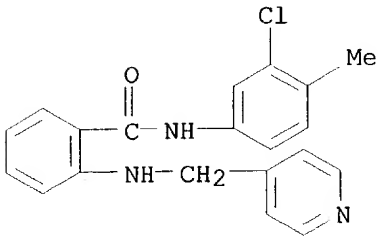
RN 269391-19-7 CAPLUS
CN Benzoic acid, 4-[[2-[(4-pyridinylmethyl)amino]benzoyl]amino]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



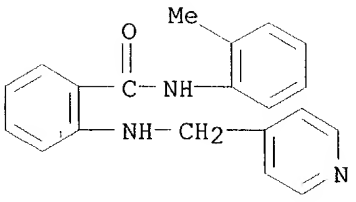
RN 269391-20-0 CAPLUS
CN Benzamide, N-[4-[[(1-methylethyl)amino]carbonyl]phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



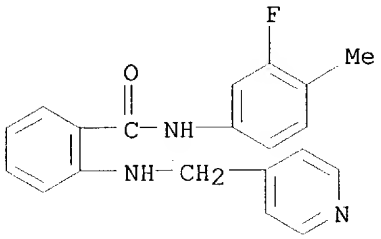
RN 269391-21-1 CAPLUS
CN Benzamide, N-(3-chloro-4-methylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



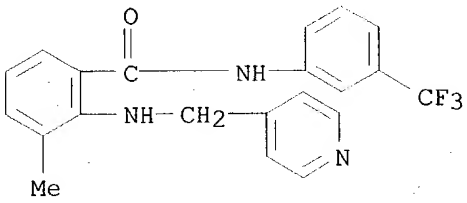
RN 269391-22-2 CAPLUS
CN Benzamide, N-(2-methylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



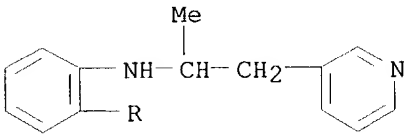
RN 269391-49-3 CAPLUS
CN Benzamide, N-(3-fluoro-4-methylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

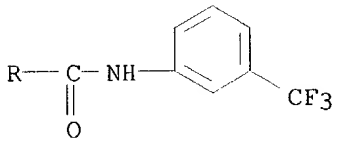


RN 269391-50-6 CAPLUS
CN Benzamide, 3-methyl-2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

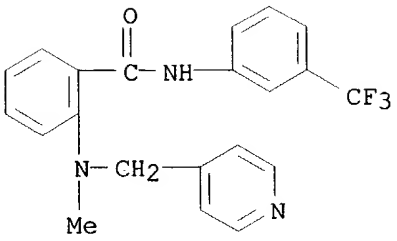


RN 269391-51-7 CAPLUS
CN Benzamide, 2-[[1-methyl-2-(3-pyridinyl)ethyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

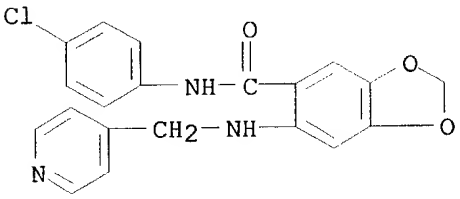




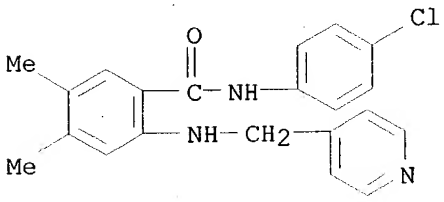
RN 269391-52-8 CAPLUS
CN Benzamide, 2-[methyl(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



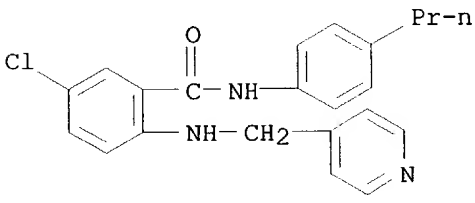
RN 269391-53-9 CAPLUS
CN 1,3-Benzodioxole-5-carboxamide, N-(4-chlorophenyl)-6-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



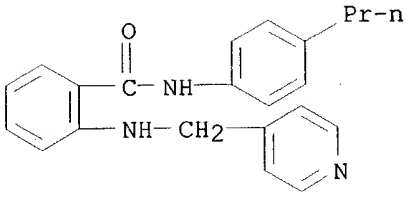
RN 269391-54-0 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-4,5-dimethyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



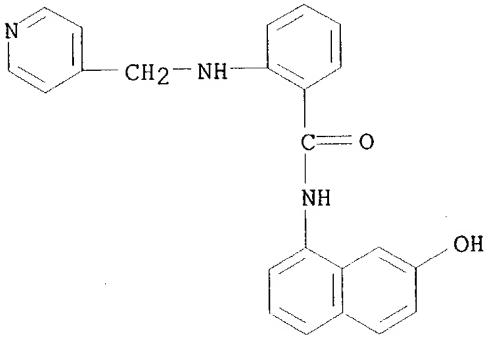
RN 269391-55-1 CAPLUS
CN Benzamide, 5-chloro-N-(4-propylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



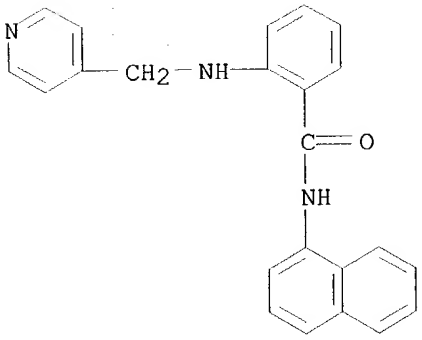
RN 269391-56-2 CAPLUS
CN Benzamide, N-(4-propylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



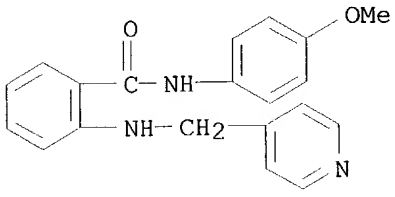
RN 269391-57-3 CAPLUS
CN Benzamide, N-(7-hydroxy-1-naphthalenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 269391-58-4 CAPLUS
CN Benzamide, N-1-naphthalenyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

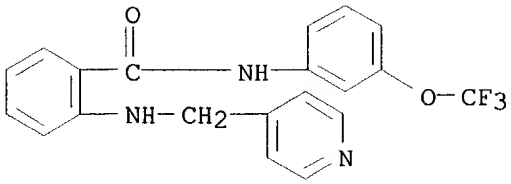


RN 269391-59-5 CAPLUS
CN Benzamide, N-(4-methoxyphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

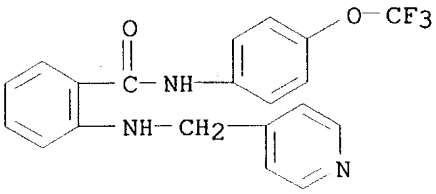


RN 269391-60-8 CAPLUS

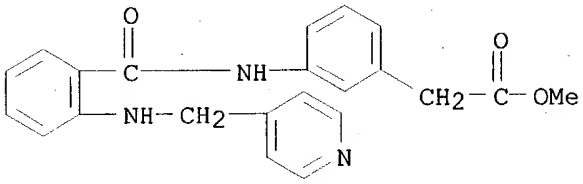
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



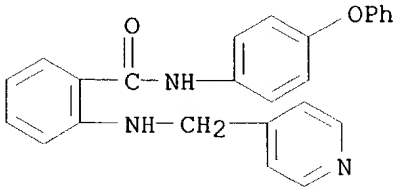
RN 269391-61-9 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 269391-62-0 CAPLUS
CN Benzeneacetic acid, 3-[[2-[(4-pyridinylmethyl)amino]benzoyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 269391-63-1 CAPLUS
CN Benzamide, N-(4-phenoxyphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:335387 CAPLUS
DOCUMENT NUMBER: 132:334364
TITLE: Preparation of anthranilic acid amides as vascular endothelial growth factor receptor inhibitors.

INVENTOR(S): Huth, Andreas; Seidelmann, Dieter; Thierauch, Karl-Heinz; Bold, Guido; Manley, Paul William; Furet, Pascal; Wood, Jeanette Marjorie; Mestan, Jurgen; Bruggen, Jose; Ferrari, Stefano; Kruger, Martin; Ottow, Eckhard; Menrad, Andreas; Schirner, Michael

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany; Novartis Aktiengesellschaft

SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

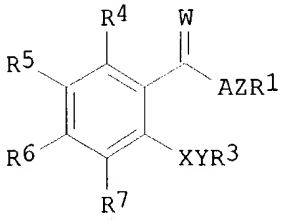
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027819	A2	20000518	WO 1999-EP8478	19991109
WO 2000027819	A3	20000817		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19910396	A1	20000907	DE 1999-19910396	19990303
DE 19910396	C2	20011213		
BR 9915553	A	20010814	BR 1999-15553	19991109
EP 1129074	A2	20010905	EP 1999-953967	19991109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002529452	T2	20020910	JP 2000-580999	19991109
EE 200100258	A	20021216	EE 2001-258	19991109
NO 2001002245	A	20010710	NO 2001-2245	20010507
BG 105588	A	20020430	BG 2001-105588	20010611

PRIORITY APPLN. INFO.: GB 1998-24579 A 19981110
DE 1999-19910396 A 19990303
WO 1999-EP8478 W 19991109

OTHER SOURCE(S): MARPAT 132:334364

ED Entered STN: 19 May 2000

GI



I

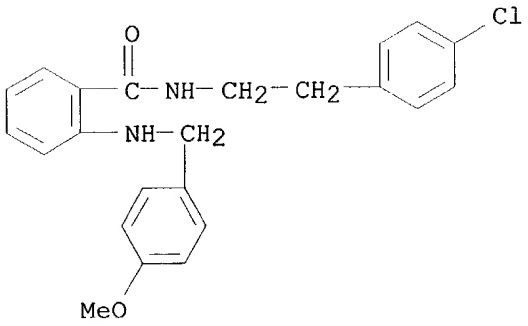
AB Title compds. [I; A = NR2; W = O, S, H2, NR8; Z = NR10, N, NR10(CH2)q, alkyl, etc.; q = 1-6; AZR1 = tetrahydroisoquinolinyl, indazolyl, 5-chloroindolyl, etc.; R1 = (substituted) aryl, heteroaryl; R2 = H, alkyl; R3 = (substituted) mono- or bicyclic aryl, heteroaryl; R4-R7 = H, halo, (substituted) alkoxy, alkyl, carboxyalkyl; R5R6 = dioxetanyl; R8, R10 = H, alkyl]. Thus, Me N-(4-pyridylmethyl)anthranilate (prepn. given) was stirred with Ph(CH2)3NH2 and Me3Al were stirred in PhMe to give

N-(3-phenylprop-1-yl)-N2-(4-pyridylmethyl)anthranilamide. The latter inhibited VEGFR I with IC50 = 0.05 .mu.M.

IT **267891-62-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-62-3 CAPLUS

CN Benzamide, N-[2-(4-chlorophenyl)ethyl]-2-[[(4-methoxyphenyl)methyl]amino]- (9CI) (CA INDEX NAME)



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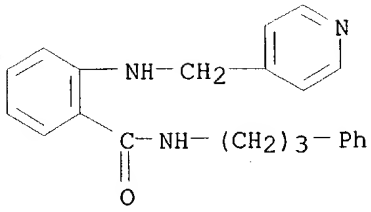
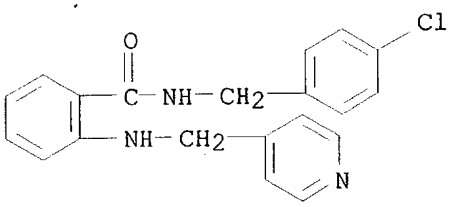
267891-80-5P 267891-81-6P 267891-82-7P
267891-83-8P 267891-84-9P 267891-85-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-04-3 CAPLUS

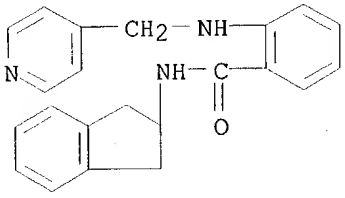
CN Benzamide, N-(3-phenylpropyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



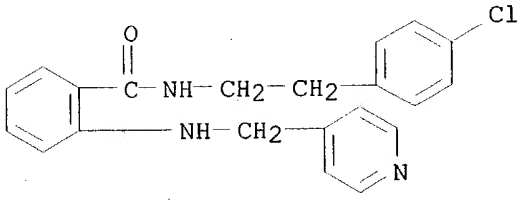
RN 267891-05-4 CAPLUS
CN Benzamide, N-[(4-chlorophenyl)methyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



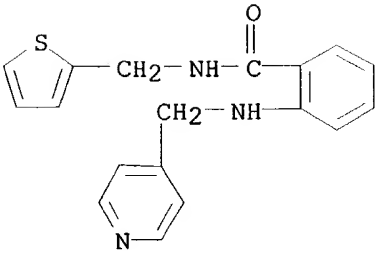
RN 267891-06-5 CAPLUS
CN Benzamide, N-(2,3-dihydro-1H-inden-2-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



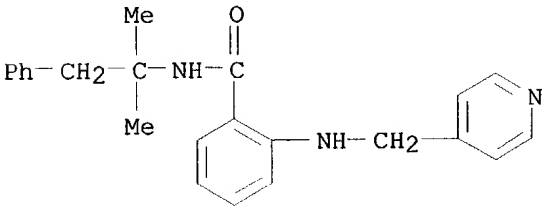
RN 267891-07-6 CAPLUS
CN Benzamide, N-[2-(4-chlorophenyl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-09-8 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



RN 267891-10-1 CAPLUS
CN Benzamide, N-(1,1-dimethyl-2-phenylethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-11-2 CAPLUS
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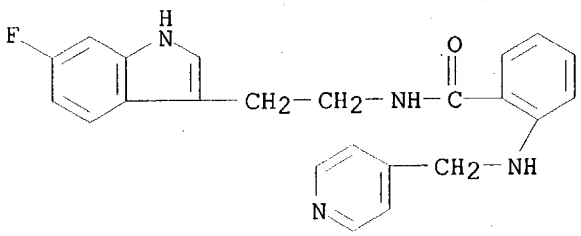
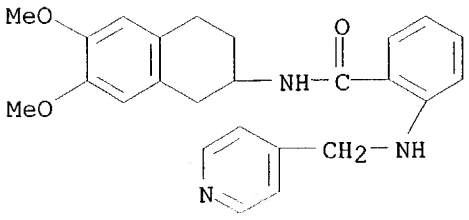
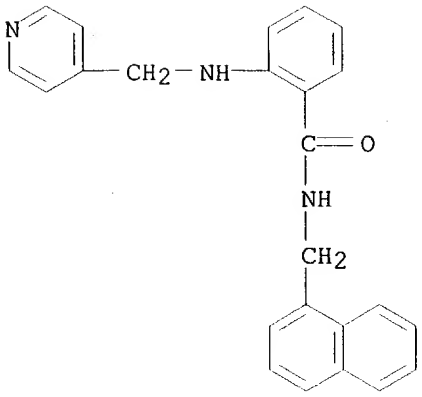


RN 267891-12-3 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(1,2,3,4-tetrahydro-6,7-dimethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 267891-13-4 CAPLUS
CN Benzamide, N-(1-naphthalenylmethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-14-5 CAPLUS
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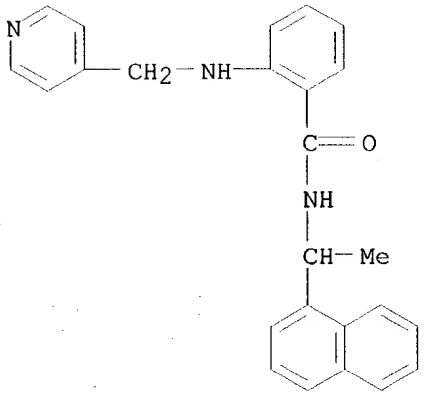

RN 267891-15-6 CAPLUS
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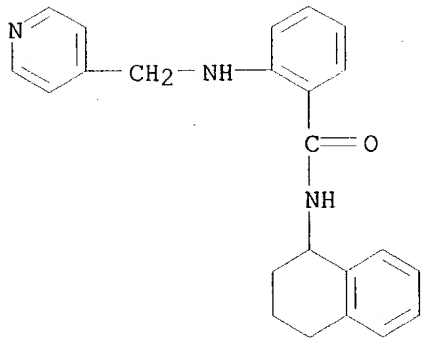

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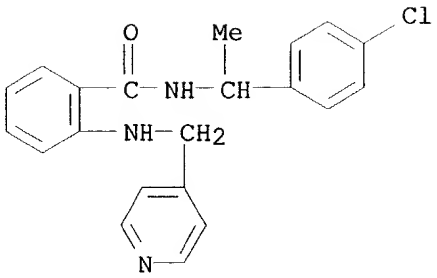

RN 267891-17-8 CAPLUS
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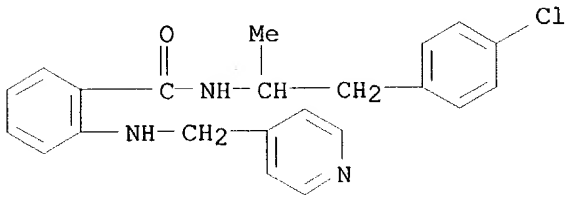
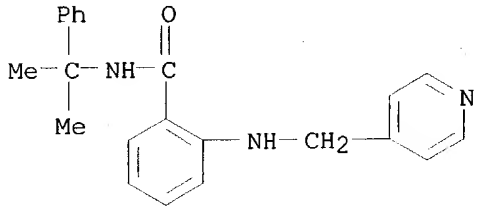
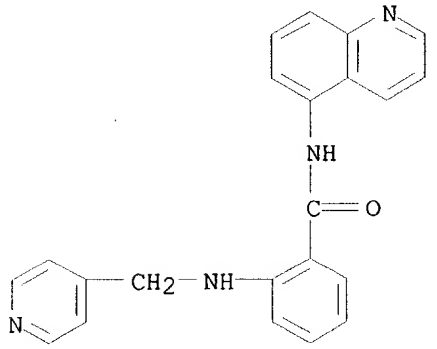


RN 267891-18-9 CAPLUS
CN Benzamide, N-(1-methyl-1-phenylethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-19-0 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-5-quinolinyl- (9CI) (CA INDEX NAME)



RN 267891-20-3 CAPLUS

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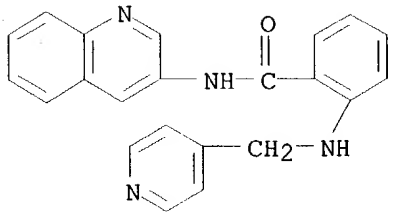

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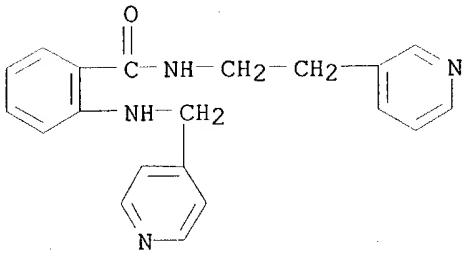

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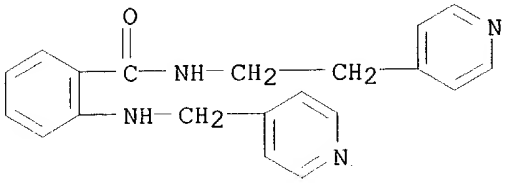

RN 267891-23-6 CAPLUS
CN Benzamide, N-1-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)